

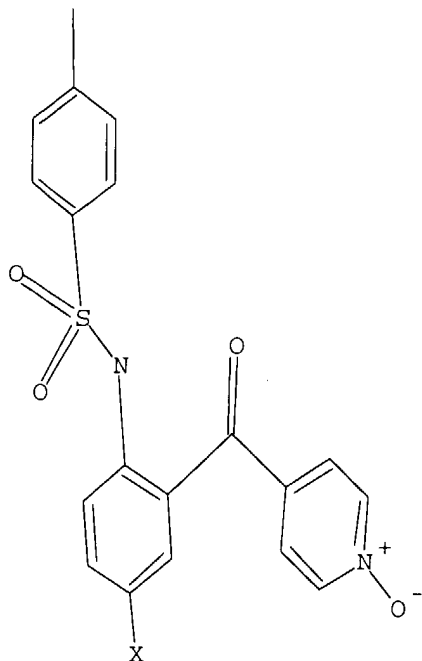
L1 STRUCTURE UPLOADED

=>

=> d

L1 HAS NO ANSWERS

L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l1 full

FULL SEARCH INITIATED 23:25:31 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 27 TO ITERATE

100.0% PROCESSED 27 ITERATIONS

SEARCH TIME: 00.00.01

0 ANSWERS

L2 0 SEA SSS FUL L1

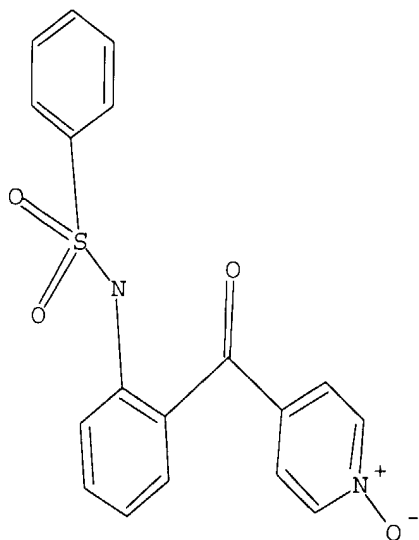
=>

L3 STRUCTURE UPLOADED

=> d

L3 HAS NO ANSWERS

L3 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l3 full

FULL SEARCH INITIATED 23:26:54 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 27 TO ITERATE

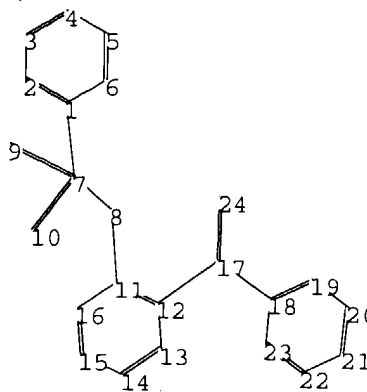
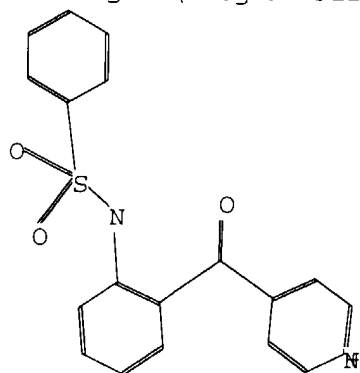
100.0% PROCESSED 27 ITERATIONS

SEARCH TIME: 00.00.01

0 ANSWERS

L4 0 SEA SSS FUL L3

loading C:\Program Files\Stnexp\Queries\10716170b.str



chain nodes :

7 8 9 10 17 24

ring nodes :

1 2 3 4 5 6 11 12 13 14 15 16 18 19 20 21 22 23

chain bonds :

1-7 7-8 7-9 7-10 8-11 12-17 17-18 17-24

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 11-12 11-16 12-13 13-14 14-15 15-16 18-19 18-23
19-20 20-21 21-22 22-23

exact/norm bonds :

1-7 7-8 7-9 7-10 8-11 17-24

exact bonds :

12-17 17-18

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6 11-12 11-16 12-13 13-14 14-15 15-16 18-19 18-23
19-20 20-21 21-22 22-23

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:CLASS 18:Atom 19:Atom
20:Atom 21:Atom
22:Atom 23:Atom 24:CLASS

L6 STRUCTURE UPLOADED

=> fd

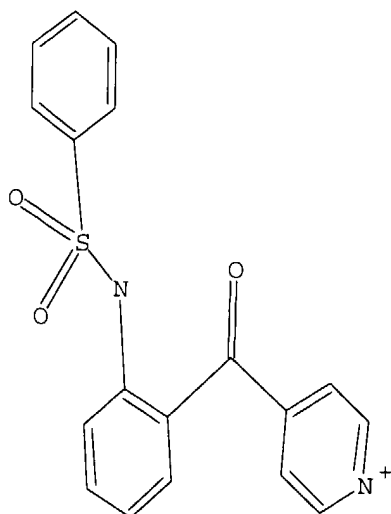
FD IS NOT A RECOGNIZED COMMAND

The previous command name entered was not recognized by the system.
For a list of commands available to you in the current file, enter
"HELP COMMANDS" at an arrow prompt (=>).

=> d

L6 HAS NO ANSWERS

L6 STR



Structure attributes must be viewed using STN Express query preparation.

=> s 16 full

FULL SEARCH INITIATED 23:31:04 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 198 TO ITERATE

100.0% PROCESSED 198 ITERATIONS

SEARCH TIME: 00.00.01

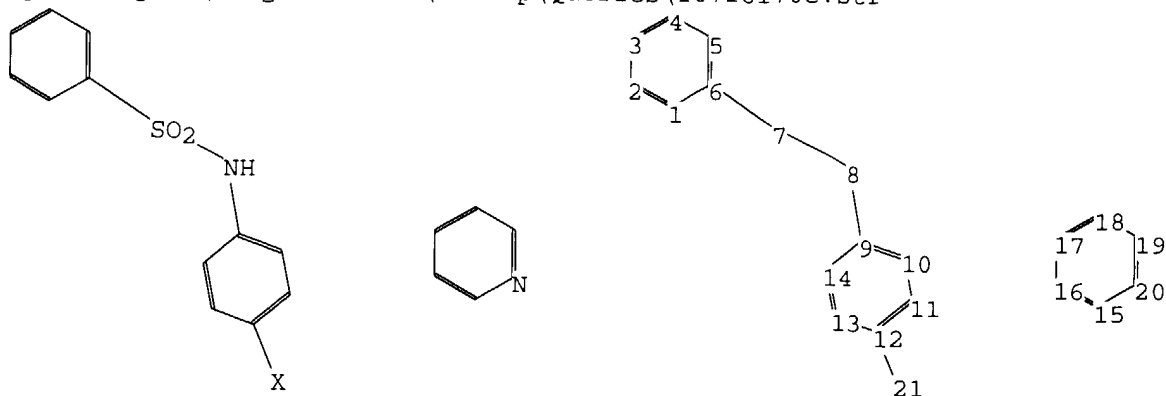
0 ANSWERS

L7 0 SEA SSS FUL L6

=>

=>

Uploading C:\Program Files\Stnexp\Queries\10716170c.str



chain nodes :

7 8 21

ring nodes :

1 2 3 4 5 6 9 10 11 12 13 14 15 16 17 18 19 20

chain bonds :

6-7 7-8 8-9 12-21

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 9-10 9-14 10-11 11-12 12-13 13-14 15-16 15-20
16-17 17-18 18-19 19-20

exact/norm bonds :

7-8 8-9

exact bonds :

6-7 12-21

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6 9-10 9-14 10-11 11-12 12-13 13-14 15-16 15-20
16-17 17-18 18-19 19-20

Match level :

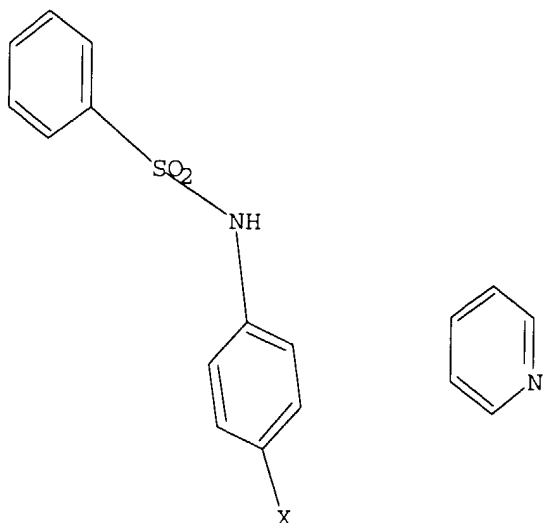
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom
20:Atom 21:CLASS

L1 STRUCTURE UPLOADED

=> d

L1 HAS NO ANSWERS

L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l1 full

FULL SEARCH INITIATED 19:43:55 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 32781 TO ITERATE

100.0% PROCESSED 32781 ITERATIONS
SEARCH TIME: 00.00.01

284 ANSWERS

L2 284 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
155.42	155.63

FULL ESTIMATED COST

FILE 'CAPLUS' ENTERED AT 19:43:59 ON 07 DEC 2004

USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY (ACS)

Copyright of the articles to which records in this database refer is held by the publishers listed in the PUBLISHER (PB) field (available for records published or updated in Chemical Abstracts after December 26, 1996), unless otherwise indicated in the original publications. The CA Lexicon is the copyrighted intellectual property of the American Chemical Society and is provided to assist you in searching databases on STN. Any dissemination, distribution, copying, or storing of this information, without the prior written consent of CAS, is strictly prohibited.

FILE COVERS 1907 - 7 Dec 2004 VOL 141 ISS 24
FILE LAST UPDATED: 6 Dec 2004 (20041206/ED)

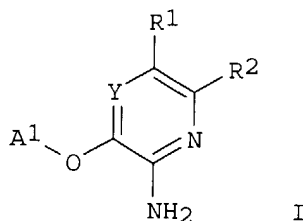
This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 12

L3 ANSWER 1 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN
 ACCESSION NUMBER: 2004:740294 CAPLUS
 DOCUMENT NUMBER: 141:260769
 TITLE: Preparation of aminoheteroaryl compounds as protein kinase inhibitors
 INVENTOR(S): Cui, Jingjong Jean
 PATENT ASSIGNEE(S): Sugan, Inc., USA
 SOURCE: PCT Int. Appl., 312 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004076412	A2	20040910	WO 2004-US5495	20040226
W: AE, AE, AG, AL, AL, AM, AM, AM, AT, AT, AU, AZ, AZ, BA, BB, BG, BG, BR, BR, BW, BY, BY, BZ, BZ, CA, CH, CN, CN, CO, CO, CR, CR, CU, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EC, EE, EE, EG, ES, ES, FI, FI, GB, GD, GE, GE, GH, GM, HR, HR, HU, HU, ID, IL, IN, IS, JP, JP, KE, KE, KG, KG, KP, KP, KR, KR, KZ, KZ, KZ, LC, LK, LR, LS, LS, LT, LU, LV, MA, MD, MD, MG, MK, MN, MW, MX, MX, MZ, MZ, NA, NI				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.: US 2003-449588P P 20030226
 US 2004-540229P P 20040129
 OTHER SOURCE(S): MARPAT 141:260769
 GI



AB The title aminopyridines and aminopyrazines [I; Y = N, CR11; R1 = aryl, heteroaryl, cycloalkyl, etc.; R2 = H, halo, alkyl, cycloalkyl, etc.; A1 = (CR9R10)nA2 (with provisos); R9, R10 = H, halo, alkyl, cycloalkyl, etc.; n = 0-4; A2 = aryl, heteroaryl, cycloalkyl, heterocyclic; R11 = halo, alkyl, alkoxy, etc.] which have activity as protein kinase inhibitors, including as inhibitors of c-MET (IC50 values given), were prepared E.g., a multi-step synthesis of 3-(3-methoxybenzyloxy)-5-phenylpyridin-2-amine, was given.

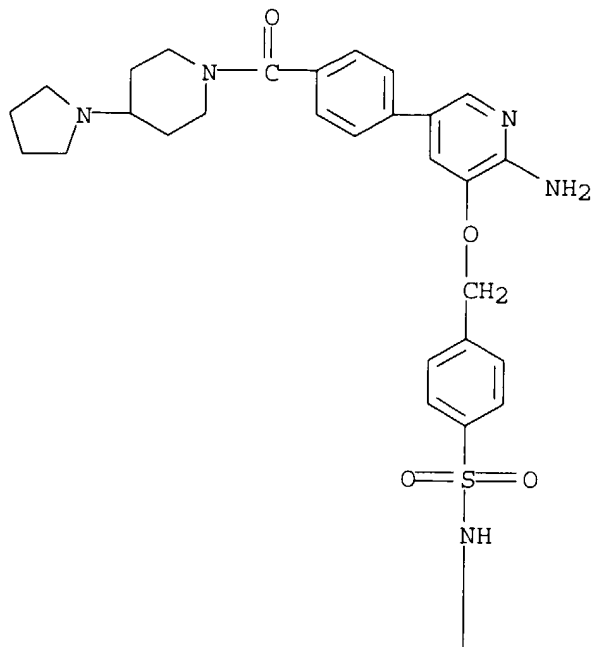
IT **756518-45-3P 756520-08-8P**
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of substituted aminopyridines and aminopyrazines as protein

kinase inhibitors)

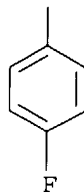
RN 756518-45-3 CAPLUS

CN Piperidine, 1-[4-[6-amino-5-[[4-[[4-(4-fluorophenyl)amino]sulfonyl]phenyl]methoxy]-3-pyridinyl]benzoyl]-4-(1-pyrrolidinyl)- (9CI) (CA INDEX NAME)

PAGE 1-A

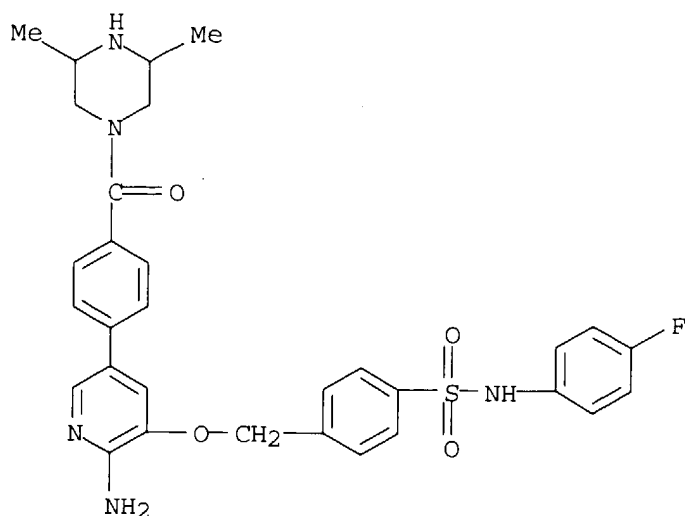


PAGE 2-A



RN 756520-08-8 CAPLUS

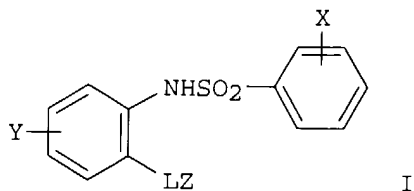
CN Piperazine, 1-[4-[6-amino-5-[[4-[[4-(4-fluorophenyl)amino]sulfonyl]phenyl]methoxy]-3-pyridinyl]benzoyl]-3,5-dimethyl- (9CI) (CA INDEX NAME)



L3 ANSWER 2 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN
 ACCESSION NUMBER: 2004:453170 CAPLUS
 DOCUMENT NUMBER: 141:38531
 TITLE: Preparation of pyridinylcarbonylarylsulfonamides as chemokine CCR9 receptor antagonists.
 INVENTOR(S): Ugashe, Solomon; Zheng, Wei; Wright, J. J.; Pennell, Andrew
 PATENT ASSIGNEE(S): Chemocentryx, USA
 SOURCE: PCT Int. Appl., 164 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004046092	A2	20040603	WO 2003-US36766	20031117
WO 2004046092	A3	20040715		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2004171654	A1	20040902	US 2003-716170	20031117
US 2004167113	A1	20040826	US 2003-716183	20031118
WO 2004085384	A2	20041007	WO 2003-US37035	20031118
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE,				

ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK,
 TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
 PRIORITY APPLN. INFO.: US 2002-427670P P 20021118
 OTHER SOURCE(S): MARPAT 141:38531
 GI



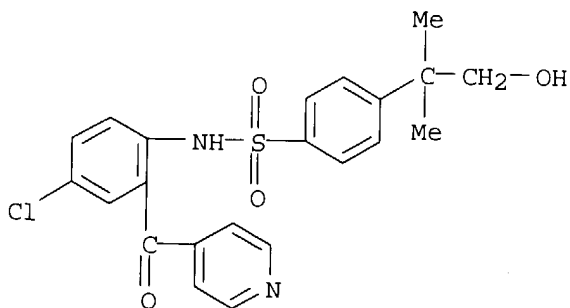
AB Title compds. [I; X = 1-4 of halo, cyano, NO₂, OH, OR₁, COR₁, CO₂R₁, SR₁, NR₁R₂, NR₁COR₂, etc.; R₁, R₂ = H, (substituted) haloalkyl, alkyl, cycloalkyl, alkenyl, alkynyl, aryl, heteroaryl, etc.; Y = 1-3 of halo, cyano, NO₂, OH, OR₄, COR₄, CO₂R₄, SR₄, SOR₄, SO₂R₄, (substituted) alkyl; R₄ = H, (substituted) haloalkyl, alkyl, cycloalkyl, alkenyl, alkynyl; L = CO, S, SO, SO₂; Z = (substituted) mono- or bicyclic heteroaryl, heterocyclyl; with provisos], were prepared Thus, reaction of (2-amino-5-chlorophenyl) pyridin-4-yl methanone (preparation given) with 4-tert-butylbenzenesulfonyl chloride gave 4-tert-butyl-N-[4-chloro-2-(pyridine-4-carbonyl)phenyl]benzenesulfonamide. The latter at 50 mg/kd s.c. twice a day in MDR1a knockout mice prevented IBD-associated growth retardation.

IT **698395-75-4P**

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
 (preparation of pyridinylcarbonylarylsulfonamides as chemokine CCR9 receptor antagonists)

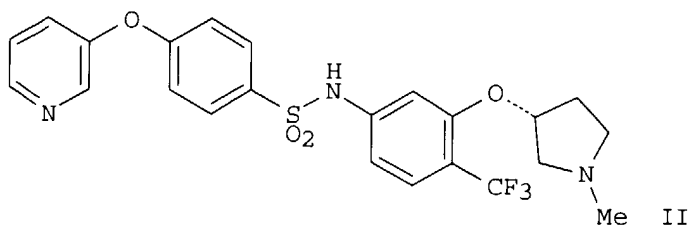
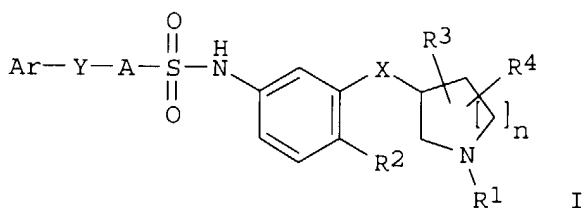
RN 698395-75-4 CAPLUS

CN Benzenesulfonamide, N-[4-chloro-2-(4-pyridinylcarbonyl)phenyl]-4-(2-hydroxy-1,1-dimethylethyl)- (9CI) (CA INDEX NAME)



L3 ANSWER 3 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN
 ACCESSION NUMBER: 2004:428904 CAPLUS
 DOCUMENT NUMBER: 141:7015
 TITLE: Preparation of sulfonamides as antagonists of urotensin II
 INVENTOR(S): Barton, Linda S.; Dodson, Jason W.; Gaitanopoulos, Dimitri E.; Girard, Gerald R.; King, Bryan W.; McAtee, John Jeffrey; Neeb, Michael J.
 PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA
 SOURCE: PCT Int. Appl., 81 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 4
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004043917	A1	20040527	WO 2003-US35351	20031106
W: AE, AG, AL, AU, BA, BB, BR, BZ, CA, CN, CO, CR, CU, DM, DZ, EC, EG, GD, GE, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MA, MG, MK, MN, MX, NO, NZ, OM, PH, PL, RO, SC, SG, TN, TT, UA, US, UZ, VN, YU, ZA RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRIORITY APPLN. INFO.:			US 2002-424149P	P 20021106
			US 2002-424162P	P 20021106
OTHER SOURCE(S):		MARPAT 141:7015		
GI				



AB The title compds. [I; Ar = Ph, pyridinyl, thienyl, etc.; A = Ph, pyridyl, thienyl, etc.; Y = O, NH, CONHCH2, SOp, CH2, a bond; R1 = H, alkyl, (CH2)mR14; R2 = H, halo, CF3, CN, alkyl; R3, R4 = H, alkyl, PhCH2, etc.; X = O, S, CH2; n = 1-2; p = 0-2; m = 1-2; R14 = Ph, OH, CO(alkyl)], useful as antagonists of urotensin II, were prepared and formulated. Thus,

reacting the corresponding aniline with 4-(3-pyridinyloxy)benzenesulfonyl chloride in the presence of pyridine in CH₂Cl₂ afforded 42% (3R)-II. Activity for the compds. I range from K_i of 1 nM to 1000 nM in the h-U-II radioligand binding assay.

IT **694471-75-5P**

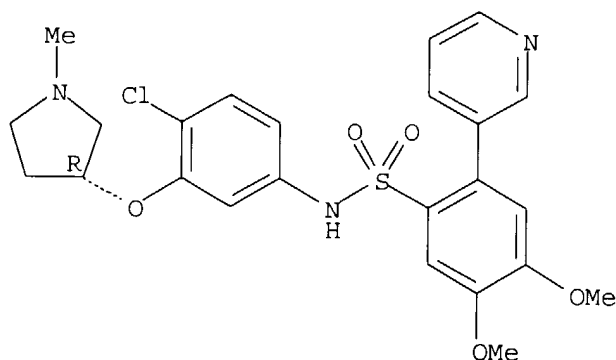
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of sulfonamides as antagonists of urotensin II)

RN 694471-75-5 CAPLUS

CN Benzenesulfonamide, N-[4-chloro-3-[[[(3R)-1-methyl-3-pyrrolidinyl]oxy]phenyl]-4,5-dimethoxy-2-(3-pyridinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L3 ANSWER 4 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2004:41269 CAPLUS

DOCUMENT NUMBER: 140:77038

TITLE: Preparation of 3-[heteroaryl-methoxy]pyridines and their analogues as p38 map kinase inhibitors

INVENTOR(S): Murray, Christopher William; Hartshorn, Michael John; Frederickson, Martyn; Congreve, Miles Stuart; Padova, Alessandro; Woodhead, Steven John; Gill, Adrian Liam; Woodhead, Andrew James

PATENT ASSIGNEE(S): Astex Technology Limited, UK

SOURCE: PCT Int. Appl., 134 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004004720	A1	20040115	WO 2003-GB302864	20030703
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

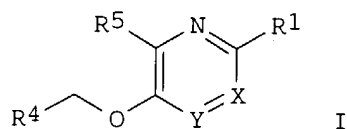
PRIORITY APPLN. INFO.:

GB 2002-15383
US 2002-393121P
GB 2002-26149

A 20020703
P 20020703
A 20021108

OTHER SOURCE(S):
GI

MARPAT 140:77038



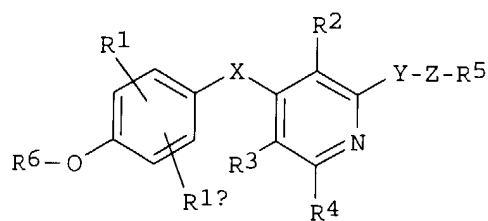
AB Title compds. I [X=Y = CR₂=CR₃, CR₂=N; R₁ = H, halo, amino, etc.; R₂-3 = H, alkyl, aryl, etc.; R₄ = carboaryl, heteroaryl; R₅ = halo, amino, carboxamido, etc.] are prepared For instance, 2-amino-3-benzyloxypyridine is prepared by alkylation of 2-amino-3-hydroxypyridine with benzyl chloride. A related example, 2-amino-3-[2-phenylbenzyloxy]pyridine has IC₅₀ < 10 μ M for p38 map kinase. I are useful in the treatment of diseases ameliorated by inhibiting p38 MAP kinase.

L3 ANSWER 6 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN
 ACCESSION NUMBER: 2003:912945 CAPLUS
 DOCUMENT NUMBER: 139:395820
 TITLE: Preparation of pyridine-based selective thyroid
 receptor β agonists
 INVENTOR(S): Zhang, Minsheng; Hangeland, Jon; Caringal, Yolanda;
 Friends, Todd
 PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
 SOURCE: PCT Int. Appl., 147 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

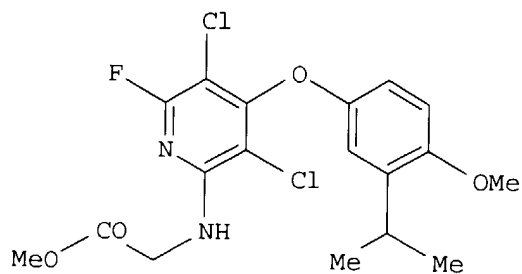
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003094845	A2	20031120	WO 2003-US14222	20030507
WO 2003094845	A3	20040304		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2004039028	A1	20040226	US 2003-431269	20030507
US 6747048	B2	20040608		

PRIORITY APPLN. INFO.:

OTHER SOURCE(S): MARPAT 139:395820
 GI



I



II

AB Novel pyridine-based thyroid receptor ligands (shown as I; variables defined below; e.g. II) and pharmaceutical compns. containing I as selective

agonists of thyroid receptor β (no data) are claimed. For I: X is O, S, S(O), SO₂, CR₈R₈' or NR₈; Y is NR₈, O, CH₂ or S; Z is a bond or (un)substituted C1-4 alkyl; addnl. details are given in the claims. A method is provided for preventing, inhibiting or treating diseases or disorders associated with metabolism dysfunction or which are dependent upon

the

expression of a T₃ regulated gene (no data), wherein a compound I is administered in a therapeutically effective amount. Although the methods of preparation are not claimed, 57 example preps. of I and characterization data for .apprx.200 more I are included.

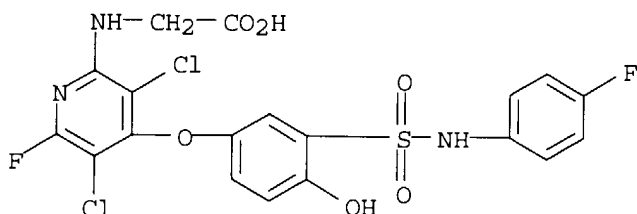
IT **627081-94-1P**, 3,5-Dichloro-2-fluoro-4-[3-[[[4-fluorophenyl)amino]sulfonyl]-4-hydroxyphenoxy]-6-[[[(hydroxycarbonyl)methyl]amino]pyridine

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of pyridine-based selective thyroid receptor β agonists)

RN 627081-94-1 CAPLUS

CN Glycine, N-[3,5-dichloro-6-fluoro-4-[3-[[[4-fluorophenyl)amino]sulfonyl]-4-hydroxyphenoxy]-2-pyridinyl]- (9CI) (CA INDEX NAME)



IT **627081-96-3P**, 3,5-Dichloro-2,6-difluoro-4-[3-[[[p-fluorophenyl)amino]sulfonyl]-4-methoxyphenoxy]pyridine

627081-97-4P, 3,5-Dichloro-2-fluoro-4-[3-[[[p-fluorophenyl)amino]sulfonyl]-4-methoxyphenoxy]-6-

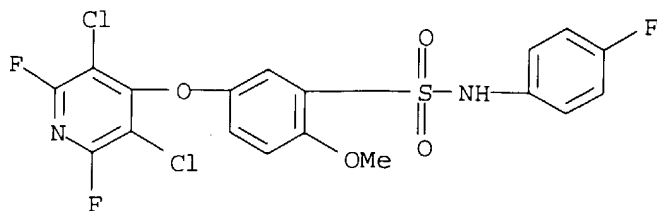
[[[(methoxycarbonyl)methyl]amino]pyridine **627081-98-5P**, 3,5-Dichloro-2-fluoro-4-[3-[[[p-fluorophenyl)amino]sulfonyl]-4-hydroxyphenoxy]-6-[[[(methoxycarbonyl)methyl]amino]pyridine

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of pyridine-based selective thyroid receptor β agonists)

RN 627081-96-3 CAPLUS

CN Benzenesulfonamide, 5-[(3,5-dichloro-2,6-difluoro-4-pyridinyl)oxy]-N-(4-fluorophenyl)-2-methoxy- (9CI) (CA INDEX NAME)



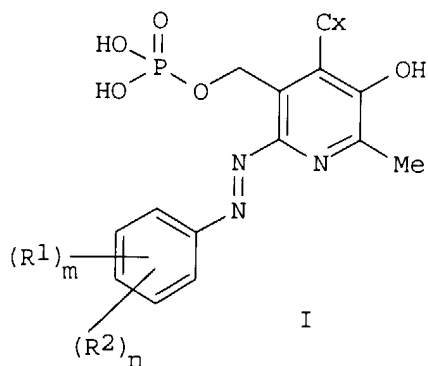
RN 627081-97-4 CAPLUS

CN Glycine, N-[3,5-dichloro-6-fluoro-4-[3-[[[4-fluorophenyl)amino]sulfonyl]-4-methoxyphenoxy]-2-pyridinyl]-, methyl ester (9CI) (CA INDEX NAME)

L3 ANSWER 7 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2003:796715 CAPLUS
DOCUMENT NUMBER: 139:292360
TITLE: Preparation of pyridoxal-5-phosphate derivatives as
HIV integrase inhibitors
INVENTOR(S): Sauve, Gilles; Stranix, Brent Richard
PATENT ASSIGNEE(S): Pharmacor Inc., Can.
SOURCE: PCT Int. Appl., 66 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003082881	A2	20031009	WO 2003-CA427	20030319
WO 2003082881	A3	20031204		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2379526	AA	20030928	CA 2002-2379526	20020328
US 6638921	B1	20031028	US 2002-183468	20020628
PRIORITY APPLN. INFO.:				
			CA 2002-2379526	A 20020328
			US 2002-183468	A 20020628
OTHER SOURCE(S): MARPAT 139:292360				
GI				



AB The preparation of title compds., I (Cx = CHO, CH:NOH, CH(OEt)₂; R₁ = H, straight chain C₁-6 alkyl, branched C₃-6 alkyl, F, Cl, Br, I, cyano, CO₂H, etc.; R₂ = CO₂H, organosulfonamido, organosulfonyl, organoamido, organocarbonyl, etc.; m = 0-3; n = 0, 1), and pharmaceutically acceptable derivs. thereof, useful as HIV integrase activity inhibitors, is described. Thus, condensation of 3-amino-2-methylbenzoic acid with pyridoxal-5-phosphate gave 85% title compound, 6-(3-carboxy-2-

methylphenylazo)pyridoxal-5-phosphate, anti-integrase activity of which was given.

IT 608523-86-0P

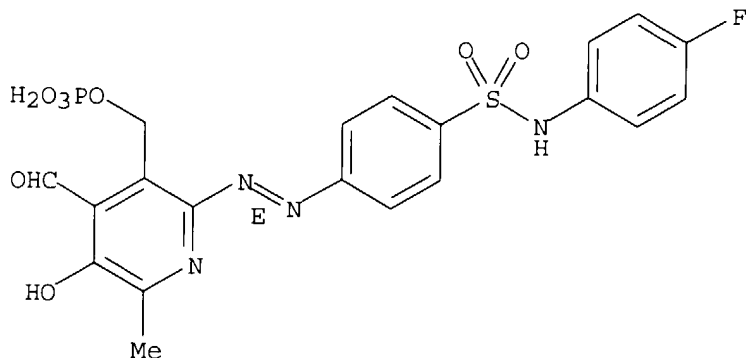
RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyridoxal phosphate derivs. as HIV integrase inhibitors)

RN 608523-86-0 CAPLUS

CN Benzenesulfonamide, N-(4-fluorophenyl)-4-[(1E)-[4-formyl-5-hydroxy-6-methyl-3-[(phosphonoxy)methyl]-2-pyridinyl]azo]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



L3 ANSWER 8 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2003:551386 CAPLUS

DOCUMENT NUMBER: 139:117209

TITLE: Preparation of biaryl phosphate transport inhibitors
INVENTOR(S): Jozefiak, Thomas H.; Bastos, Cecilia M.; Papoulis, Andrew T.; Holmes-Farley, Stephen Randall

PATENT ASSIGNEE(S): Genzyme Corporation, USA

SOURCE: PCT Int. Appl., 135 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003057225	A2	20030717	WO 2002-US41481	20021224
WO 2003057225	A3	20040408		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
US 2004019113	A1	20040129	US 2002-327627	20021220
EP 1465638	A2	20041013	EP 2002-806234	20021224
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK			
PRIORITY APPLN. INFO.:			US 2001-344660P	P 20011226

US 2002-371649P

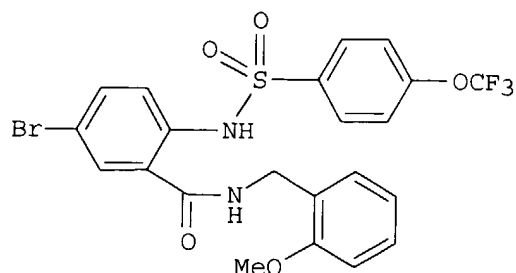
P 20020410

WO 2002-US41481

W 20021224

OTHER SOURCE(S):
GI

MARPAT 139:117209



II

AB Disclosed are compds. Ar1-W-X-Y-Ar2 [Ar1-2 = (un)substituted aryl group or 5-6 membered non-aromatic group fused to a (un)substituted monocyclic aryl group; W, Y = covalent bond, alkylene; X = SO2, SO2-alkyl, SO2-amino, etc; I] which are inhibitors of phosphate transport. For instance, 5-bromo-2-[[[4-(trifluoromethoxyphenyl)sulfonyl]amino]benzoic acid (preparation given) is converted to the acid chloride (SOCl2, reflux) and used to acylate 2-methoxybenzyl amine (THF) to give II. Example compds. inhibit phosphate transport in rabbit intestinal brush border membrane vesicles; a select group of example compds. has IC50 = 0-50 μ M. I are used to treat a disease associated with hyperphosphatemia, as well as a disease mediated by phosphate-transport function.

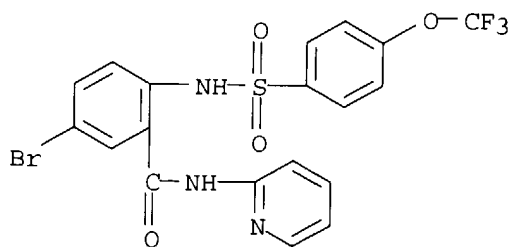
IT **562079-41-8P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of biaryl phosphate transport inhibitors)

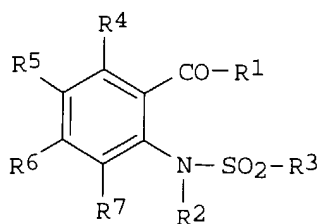
RN 562079-41-8 CAPLUS

CN Benzamide, 5-bromo-N-2-pyridinyl-2-[[[4-(trifluoromethoxy)phenyl)sulfonyl]amino]- (9CI) (CA INDEX NAME)

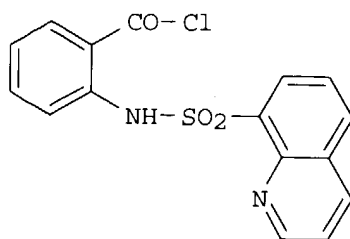


3 ANSWER 9 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN
 ACCESSION NUMBER: 2002:964322 CAPLUS
 DOCUMENT NUMBER: 138:24550
 TITLE: Preparation of anthranilic acid amides as
 antiarrhythmics
 INVENTOR(S): Brendel, Joachim; Boehme, Thomas; Peukert, Stefan;
 Kleemann, Heinz-Werner
 PATENT ASSIGNEE(S): Aventis Pharma Deutschland G.m.b.H., Germany
 SOURCE: PCT Int. Appl., 102 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

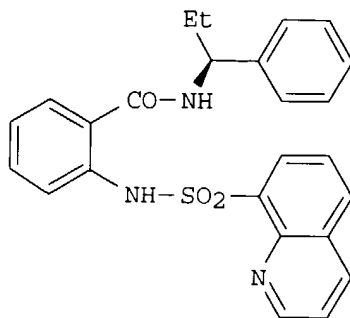
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002100825	A2	20021219	WO 2002-EP5956	20020531
WO 2002100825	A3	20031211		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE 10128331	A1	20021219	DE 2001-10128331	20010612
EE 200300558	A	20040216	EE 2003-558	20020531
EP 1399423	A2	20040324	EP 2002-745333	20020531
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2002010374	A	20040713	BR 2002-10374	20020531
JP 2004533464	T2	20041104	JP 2003-503594	20020531
US 2003114499	A1	20030619	US 2002-166595	20020612
BG 108415	A	20040730	BG 2003-108415	20031204
PRIORITY APPLN. INFO.:				
			DE 2001-10128331	A 20010612
			WO 2002-EP5956	W 20020531
OTHER SOURCE(S): MARPAT 138:24550				
GI				



I



II



III

AB Title compds. I [R1 = NR8-C(R9)(R10)-A-O-E-R11, NR8-C(R9)(R12)-A-D-E-R11, NR13-C(R9)(R10)-A-D-E-R11, etc.; A = CnH2n; n = 0-5; D = bond, O; E = CmH2m; m = 0-5; R8 = H, alkyl, CpH2p-R14; p = 0-5; R14 = (un)substituted Ph, naphthyl, heteroaryl, etc.; R9 = H, alkyl; R10 = H, alkyl, (un)substituted Ph, etc.; R11 = cycloalkyl, (un)substituted Ph, naphthyl, etc.; R12 = alkyl, alkynyl, cycloalkyl, etc.; R13 = CpH2p-R14; R2 = H, alkyl; R3 = (un)substituted heteroaryl; R4, R5, R6, R7 = H, halo, CF3, etc.] and their pharmaceutically acceptable salts were prepared. For example, coupling of acid chloride II, e.g., prepared from anthranilic acid in 2-steps, and (S)-1-phenylpropylamine afforded amide III. Compds. I act upon the Kv1.5 potassium channel and inhibit a potassium flow described as ultra-rapidly activating delayed rectifier in the human cardiac atrium.

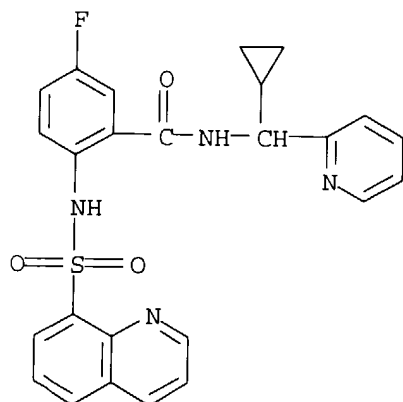
IT **478263-80-8P 478263-83-1P 478263-84-2P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of anthranilic acid amides as antiarrhythmics)

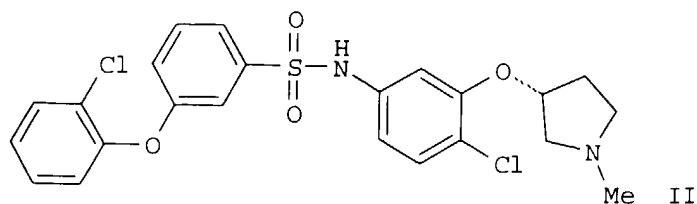
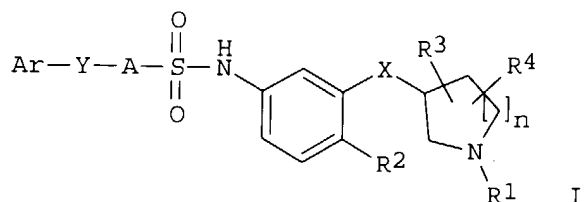
RN 478263-80-8 CAPLUS

CN Benzamide, N-(cyclopropyl-2-pyridinylmethyl)-5-fluoro-2-[(8-quinolinylsulfonyl)amino]- (9CI) (CA INDEX NAME)



L3 ANSWER 10 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN
 ACCESSION NUMBER: 2002:868728 CAPLUS
 DOCUMENT NUMBER: 137:370085
 TITLE: Preparation of sulfonamides as antagonists of
 urotensin II
 INVENTOR(S): Dhanak, Dashyant; Gallagher, Timothy F.; Knight,
 Steven D.
 PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA
 SOURCE: PCT Int. Appl., 26 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002089793	A1	20021114	WO 2002-US14409	20020507
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1387679	A1	20040211	EP 2002-769373	20020507
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004529164	T2	20040924	JP 2002-586928	20020507
US 2004198979	A1	20041007	US 2003-477051	20031107
PRIORITY APPLN. INFO.:				
			US 2001-289305P	P 20010507
			US 2001-289307P	P 20010507
			WO 2002-US14409	W 20020507
OTHER SOURCE(S): MARPAT 137:370085				
GI				



AB The title compds. [I; Ar = (un)substituted Ph, pyridyl, thienyl, etc.; A = (un)substituted Ph, thienyl, furanyl, etc.; Y = O, NH, CONHCH₂, SOn, CH₂, a bond; R₂ = H, halo, CF₃, CN, alkyl; R₁, R₃, R₄ = H, alkyl, CH₂Ph; X = O, S, CH₂; n = 0-2], useful as antagonists of urotensin II, were prepared and formulated. E.g., a 6-step synthesis of (R)-II, starting from 2-chloro-5-nitroanisole, was given. Activity for the compds. I against h-U-II range from K_i = 10-10000 nM.

IT **474947-26-7P 474947-28-9P**

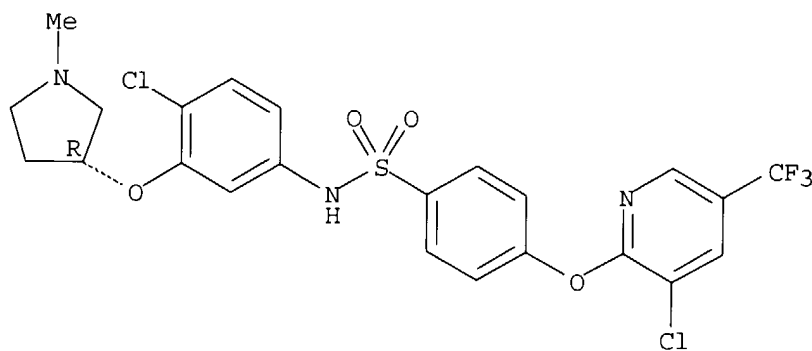
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of sulfonamides as antagonists of urotensin II)

RN 474947-26-7 CAPLUS

CN Benzenesulfonamide, N-[4-chloro-3-[[[(3R)-1-methyl-3-pyrrolidinyl]oxy]phenyl]-4-[[3-chloro-5-(trifluoromethyl)-2-pyridinyl]oxy]-(9CI) (CA INDEX NAME)

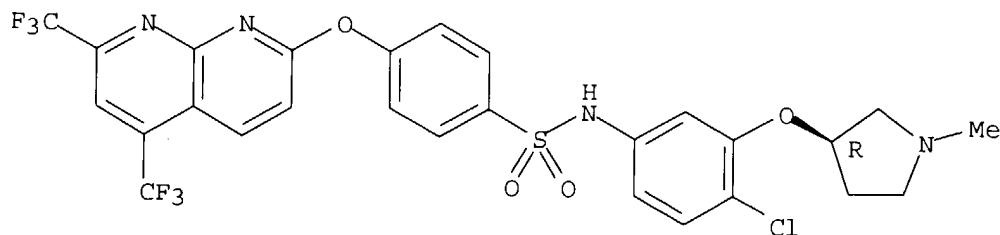
Absolute stereochemistry.



RN 474947-28-9 CAPLUS

CN Benzenesulfonamide, 4-[[[5,7-bis(trifluoromethyl)-1,8-naphthyridin-2-yl]oxy]-N-[4-chloro-3-[[[(3R)-1-methyl-3-pyrrolidinyl]oxy]phenyl]-4-[[3-chloro-5-(trifluoromethyl)-2-pyridinyl]oxy]benzenesulfonamide (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT:

2

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d 13 11-19 ibib abs hitstr

L3 ANSWER 11 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN

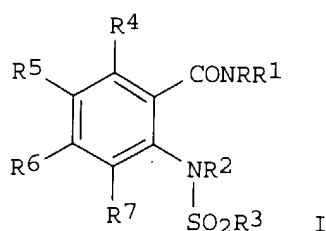
ACCESSION NUMBER: 2002:849582 CAPLUS

DOCUMENT NUMBER: 137:352782

TITLE: Preparation of anthranilic acid amides as antiarrhythmics

INVENTOR(S): Brendel, Joachim; Pirard, Bernard; Peukert, Stefan;
 Kleemann, Heinz-Werner; Hemmerle, Horst
 PATENT ASSIGNEE(S): Aventis Pharma Deutschland GmbH, Germany
 SOURCE: PCT Int. Appl., 111 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002088073	A1	20021107	WO 2002-EP4138	20020413
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE 10121003	A1	20021219	DE 2001-10121003	20010428
EP 1385820	A1	20040204	EP 2002-742898	20020413
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
EE 200300529	A	20040216	EE 2003-529	20020413
BR 2002009185	A	20040803	BR 2002-9185	20020413
JP 2004527557	T2	20040909	JP 2002-585377	20020413
US 2003187033	A1	20031002	US 2002-132163	20020426
NO 2003004751	A	20031113	NO 2003-4751	20031023
PRIORITY APPLN. INFO.:			DE 2001-10121003	A 20010428
			WO 2002-EP4138	W 20020413
OTHER SOURCE(S):	MARPAT 137:352782			
GI				



AB Title compds. [I; R = H, C1-4 alkyl, C₆H₂pR₁₄, etc.; p = 0-5; R₁₄ = cycloalkyl(substituted) (hetero)aryl; R₁ = (branched) (unsatd.) (substituted) O-interrupted alkyl; R₂ = H, C1-4 alkyl; R₃ = C3-7 cycloalkyl, (substituted) naphthyl, Ph; R₄-R₇ = F, Cl, Br, I, CF₃, OCF₃, OCHF₂, NO₂, cyano, CO₂Me, CONH₂, COMe, OH, C1-4 alkyl, C1-4 alkoxy, N(Me)₂, SO₂NH₂, NHSO₂Me], were prepared. Thus, 0.6 mmol 2-phenylsulfonylamino-5-chlorobenzoyl chloride (preparation given) was added to a mixture of 0.66 mmol S-(-)-1-methylbenzylamine and 0.9 mmol Et₃N in CH₂Cl₂ followed by stirring over night at room temperature to give 61 mg (S)-2-phenylsulfonylamino-5-chloro-N-(1-phenylethyl)benzamide. I act upon the Kv1.5 potassium channel and inhibit a potassium flow described as ultra-rapidly activating delayed rectifier in the human cardiac atrium.

Tested I inhibited human Kv1.5 potassium flow in oocytes of *Xenopus laevis* with $IC_{50} = 0.3 \rightarrow 10 \mu M$. β -Blockers and IKs-channel blockers can be used for the tablet formulation.

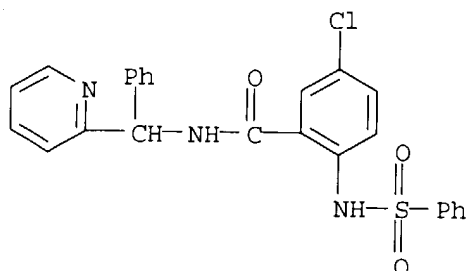
IT 474449-07-5P 474449-45-1P 474449-46-2P
474450-00-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of anthranilic acid amides as antiarrhythmics)

RN 474449-07-5 CAPLUS

CN Benzamide, 5-chloro-N-(phenyl-2-pyridinylmethyl)-2-[(phenylsulfonyl)amino]-(9CI) (CA INDEX NAME)

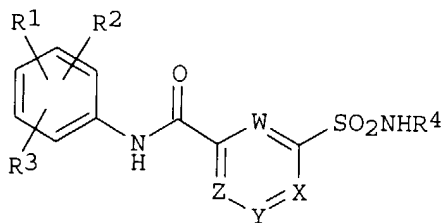


RN 474449-45-1 CAPLUS

CN Benzamide, 5-chloro-2-[[[4-methylphenyl)sulfonyl]amino]-N-(phenylmethyl)-N-(3-pyridinylmethyl)- (9CI) (CA INDEX NAME)

L3 ANSWER 12 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN
 ACCESSION NUMBER: 2001:935579 CAPLUS
 DOCUMENT NUMBER: 136:69649
 TITLE: Preparation of sulfonamides as potent inhibitors of PDE7
 INVENTOR(S): Haughan, Alan Findlay; Lowe, Christopher; Buckley, George Martin; Dyke, Hazel Joan; Galvin, Frances Celia Anne; Mack, Stephen Robert; Meissner, Johannes Wilhelm Georg; Morgan, Trevor; Watson, Robert John; Picken, Catherine Louise; Runcie, Karen Ann
 PATENT ASSIGNEE(S): Celltech Chiroscience Limited, UK
 SOURCE: PCT Int. Appl., 49 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001098274	A2	20011227	WO 2001-GB2705	20010620
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
PRIORITY APPLN. INFO.:			GB 2000-15095	A 20000620
OTHER SOURCE(S):		MARPAT 136:69649		
GI				



AB The title compds. [I; W, X, Y and Z = N, CR5 (wherein R5 = H, halo, alkyl, etc.; provided that two or more of W, X, Y and Z = CR5); R1-R3 = an atom or group L1(Alk1)rL2(R6)s (L1, L2 = a bond, liker atom or group; r = 0-1; Alk1 = (hetero)aliphatic chain; s = 1-3; R6 = H, halo, alkyl, etc.; provided that one or more of R1-R3 is a substituent other than a hydrogen atom); R4 = (un)substituted Ph, 1- or 2-naphthyl, pyridyl, pyrimidinyl, pyridazinyl, pyrazinyl] were prepared Thus, reacting 3-(2-nitrophenylcarbamoyl)benzenesulfonyl chloride with tert-Bu 4-aminobenzoate followed by treatment of the resulting sulfonamide with F3CCO2H in CH2Cl2 afforded I [W, X, Y and Z = CH; R1 = 2-NO2; R2-R3 = H; R4 = 4-(HO2C)C6H4]. The compds. I showed IC50 of ≤ 10 μM, typically around 1μM and less in PDE7 assay.

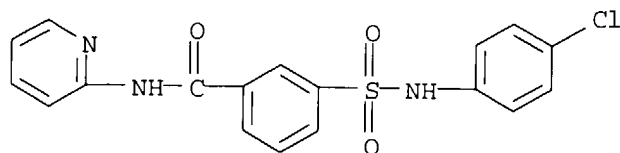
IT **383907-09-3P**
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU

(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of sulfonamides as potent inhibitors of PDE7)

RN 383907-09-3 CAPLUS

CN Benzamide, 3-[[[(4-chlorophenyl)amino]sulfonyl]-N-2-pyridinyl- (9CI) (CA INDEX NAME)



L3 ANSWER 13 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2001:12417 CAPLUS

DOCUMENT NUMBER: 134:71498

TITLE: Preparation of heterocycllyl substituted benzenesulfonamides and pyridinesulfonamides for the modulation of PPAR γ activity

INVENTOR(S): McGee, Lawrence R.; Houze, Jonathan B.; Rubenstein, Steven M.; Hagiwara, Atsushi; Furukawa, Noboru; Shinkai, Hisashi

PATENT ASSIGNEE(S): Tularik Inc., USA; Japan Tobacco Inc.

SOURCE: PCT Int. Appl., 232 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM: COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001000579	A1	20010104	WO 2000-US18178	20000628
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2377309	AA	20010104	CA 2000-2377309	20000628
EP 1192137	A1	20020403	EP 2000-946961	20000628
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2003503387	T2	20030128	JP 2001-506989	20000628
NZ 516455	A	20040326	NZ 2000-516455	20000628
ZA 2002000057	A	20030319	ZA 2002-57	20020103
US 2003139390	A1	20030724	US 2002-209205	20020730
US 6770648	B2	20040803		

PRIORITY APPLN. INFO.:

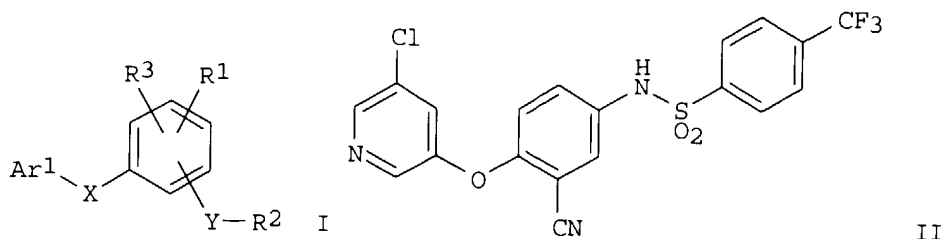
US 1999-141672P P 19990630

US 2000-606433 A1 20000628

WO 2000-US18178 W 20000628

OTHER SOURCE(S): MARPAT 134:71498

GI



AB The title compds. [I; Ar1 = (un)substituted aryl; X = alkylene, O, alkylenoxy, etc.; Y = alkylene, O, CO, etc.; R1 = H, heteroalkyl, aryl, halo, etc.; R2 = (un)substituted aryl; R3 = halo, CN, NO2, alkoxy] which are modulators of PPAR γ activity and therefore are useful for the treatment of conditions such as type II diabetes and obesity, were prepared E.g., a multi-step synthesis of the benzenesulfonamide II which showed IC50 of < 1 μ M against PPAR γ binding, was given.

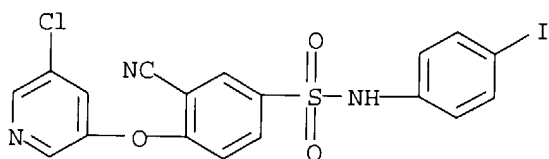
IT **315221-93-3P 315221-97-7P 315222-13-0P**

315222-15-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of heterocyclyl substituted benzenesulfonamides and pyridinesulfonamides for the modulation of PPAR γ activity)

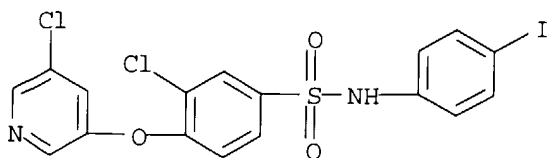
RN 315221-93-3 CAPLUS

CN Benzenesulfonamide, 4-[(5-chloro-3-pyridinyl)oxy]-3-cyano-N-(4-iodophenyl)- (9CI) (CA INDEX NAME)



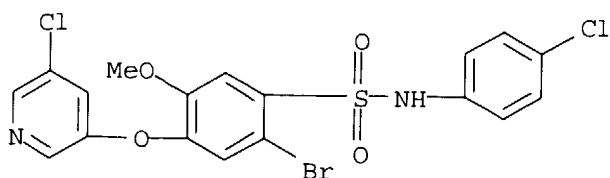
RN 315221-97-7 CAPLUS

CN Benzenesulfonamide, 3-chloro-4-[(5-chloro-3-pyridinyl)oxy]-N-(4-iodophenyl)- (9CI) (CA INDEX NAME)



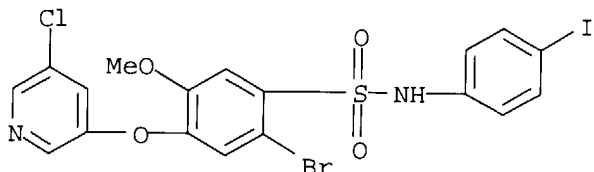
RN 315222-13-0 CAPLUS

CN Benzenesulfonamide, 2-bromo-N-(4-chlorophenyl)-4-[(5-chloro-3-pyridinyl)oxy]-5-methoxy- (9CI) (CA INDEX NAME)



RN 315222-15-2 CAPLUS

CN Benzenesulfonamide, 2-bromo-4-[(5-chloro-3-pyridinyl)oxy]-N-(4-iodophenyl)-5-methoxy- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 14 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2000:33514 CAPLUS

DOCUMENT NUMBER: 132:88199

TITLE: Sulphonylamino aryl amides as guanylate cyclase activators for therapeutic use

INVENTOR(S): Schindler, Ursula; Schoenafinger, Karl; Strobel, Hartmut

PATENT ASSIGNEE(S): Hoechst Marion Roussel Deutschland G.m.b.H., Germany

SOURCE: Ger. Offen., 24 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19830431	A1	20000113	DE 1998-19830431	19980708
CA 2336702	AA	20000120	CA 1999-2336702	19990625
WO 2000002850	A2	20000120	WO 1999-EP4427	19990625
WO 2000002850	A3	20000413		
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9951553	A1	20000201	AU 1999-51553	19990625
BR 9911942	A	20010327	BR 1999-11942	19990625
EP 1095015	A2	20010502	EP 1999-936460	19990625
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
JP 2002520308	T2	20020709	JP 2000-559081	19990625
US 6548547	B1	20030415	US 2001-743199	20010308
US 2003171352	A1	20030911	US 2003-349907	20030124

US 6809089
PRIORITY APPLN. INFO.:

B2 20041026

DE 1998-19830431 A 19980708
WO 1999-EP4427 W 19990625
US 2001-743199 A1 20010308

OTHER SOURCE(S): MARPAT 132:88199

GI For diagram(s), see printed CA Issue.

AB Comps. I [A1 = (substituted) Ph, naphthyl, or heteroaryl; A2 = ring (benzene, naphthalene, (un)saturated 3-7-membered carbocyclic, (un)saturated or aromatic monocyclic 5-7-membered heterocyclic, (un)saturated or aromatic bicyclic

8-10-membered heterocyclic); R2 = C1-10 alkyl, aryl, etc.; R3 = H, Halo, CF3, OH, etc.], e.g. 2-(4-chlorophenylsulfonylamino)-N-(3-trifluoromethylphenyl)benzamide, are disclosed for prophylaxis and treatment of disease, e.g. cardiovascular diseases such as hypertension, angina pectoris, cardiac insufficiency, thrombosis and atherosclerosis. The comps. of the invention can modulate cGMP production and are suitable generally for the treatment and prophylaxis of disease states associated with impaired cGMP metabolism. The invention also discloses preparation of medicaments,

novel comps. of formula I, pharmaceutical comps., and preparation methods.

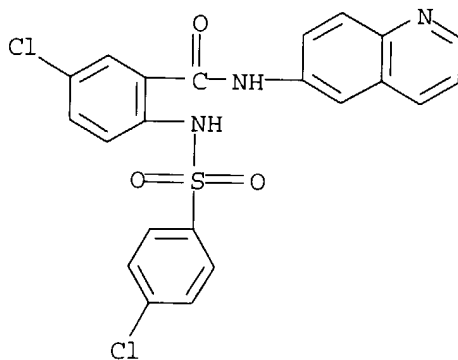
IT 254432-50-3

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(sulfonylamino aryl amides as guanylate cyclase activators for therapeutic use)

RN 254432-50-3 CAPLUS

CN Benzamide, 5-chloro-2-[[[(4-chlorophenyl)sulfonyl]amino]-N-6-quinolinyl- (9CI) (CA INDEX NAME)



L3 ANSWER 15 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1999:495273 CAPLUS

DOCUMENT NUMBER: 131:144406

TITLE: Preparation of PPAR-GAMMA modulators on treatment of type II diabetes and obesity

INVENTOR(S): De La Brouse-Elwood, Fabienne; Jaen, Juan C.; McGee, Lawrence R.; Miao, Shi-Chang; Rubenstein, Steven Marc; Chen, Jin-Long; Cushing, Timothy D.; Flygare, John A.; Houze, Jonathan B.; Kearney, Patrick C.

PATENT ASSIGNEE(S): Tularik Inc., USA

SOURCE: PCT Int. Appl., 88 pp.

CODEN: PIXXD2

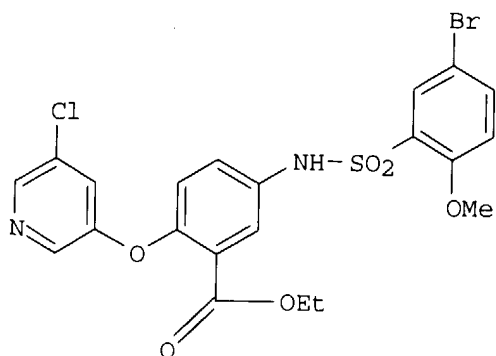
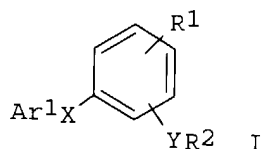
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9938845	A1	19990805	WO 1999-US1147	19990120
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				TM
CA 2318731	AA	19990805	CA 1999-2318731	19990120
AU 9921176	A1	19990816	AU 1999-21176	19990120
AU 759255	B2	20030410		
EP 1053227	A1	20001122	EP 1999-901492	19990120
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
US 6200995	B1	20010313	US 1999-234327	19990120
JP 2002501945	T2	20020122	JP 2000-530082	19990120
US 2001027200	A1	20011004	US 2000-741415	20001219
US 6620827	B2	20030916		
US 2002169185	A1	20021114	US 2001-894980	20010627
US 6583157	B2	20030624		
US 2003088103	A1	20030508	US 2002-123298	20020415
PRIORITY APPLN. INFO.:			US 1998-73042P	P 19980129
			US 1999-234327	A1 19990120
			WO 1999-US1147	W 19990120
			US 2000-214810P	P 20000628
			US 2000-741415	A1 20001219
OTHER SOURCE(S):		MARPAT 131:144406		
GI				



II

AB Title compds. [I; Ar¹ is aryl; X is a divalent linkage of alkylene, alkyleneoxy, -O-, -C(O)-, -N(R¹¹)-, -N(R¹¹)C(O)-, -S(O)_k- and a single bond, in which R¹¹ is hydrogen, alkyl, heteroalkyl, and arylalkyl and the subscript k is an integer of from 0 to 2; Y is a divalent linkage selected

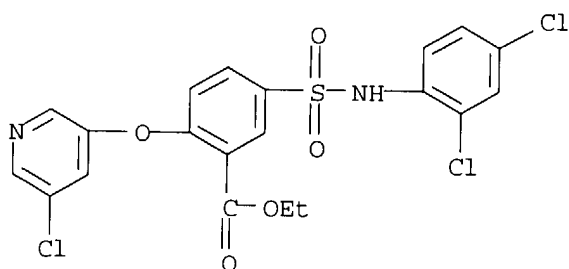
from alkylene, -O-, -C(O)-, -N(R12)-S(O)m-, -N(R13)-S(O)m-N(R13)-, -N(R12)C(O)-, -S(O)n-, a single bond, and combinations thereof in which R12 and R13 are members independently selected from the group consisting of hydrogen, alkyl, heteroalkyl and arylalkyl; and the subscripts m and n independently integers of from 0 to 2; R1 represents a member selected from the group consisting of hydrogen, alkyl, heteroalkyl, aryl, arylalkyl, -CO2R14, -CO(R)14, -C(O)NR15R16, -S(O)p-R14, -S(O)q-NR15R16, -O-C(O)-OR17, -O-C(O)-R17, -O-C(O)-NR15R16, -N(R14)-C(O)-NR15R16, -N(R14)-C(O)-R17 and -N(R14)-C(O)-OR17, in which R14 is hydrogen, alkyl, heteroalkyl, aryl and arylalkyl, and R15 and R16 are independently of hydrogen, alkyl, heteroalkyl, aryl and arylalkyl, or taken together with the nitrogen to which each is attached from a 5-, 6- or 7-membered ring; R17 R2 are independently of alkyl, heteroalkyl, aryl, arylalkyl; p = 0-3; q = 1-2] and pharmaceutical compns. containing the compds. described above for the treatment of conditions such as type II diabetes and obesity. Thus, the title compound II was prepared

IT **235427-33-5P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of PPAR-GAMMA modulators on treatment of type II diabetes and obesity)

RN 235427-33-5 CAPLUS

CN Benzoic acid, 2-[(5-chloro-3-pyridinyl)oxy]-5-[[[(2,4-dichlorophenyl)amino]sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 16 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1999:421677 CAPLUS

DOCUMENT NUMBER: 131:73558

TITLE: Preparation of chromansulfonamides as β -3 adrenoreceptor agonists

INVENTOR(S): Ladouceur, Gaetan H.; Connell, Richard D.; Baryza, Jeremy; Campbell, Ann-Marie; Lease, Timothy G.; Cook, James H.

PATENT ASSIGNEE(S): Bayer Corporation, USA

SOURCE: PCT Int. Appl., 95 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9932475	A1	19990701	WO 1998-US24627	19981117
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE,				

DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
 RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

ZA 9810489 A 19990520 ZA 1998-10489 19981117
 CA 2314925 AA 19990701 CA 1998-2314925 19981117
 AU 9914183 A1 19990712 AU 1999-14183 19981117
 AU 751015 B2 20020808
 EP 1054881 A1 20001129 EP 1998-958070 19981117

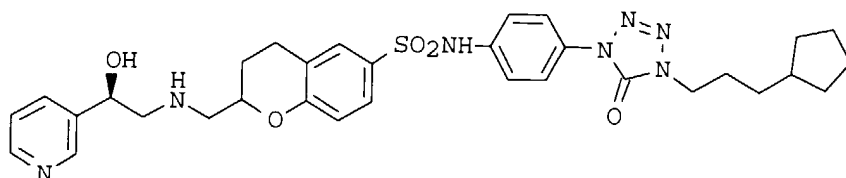
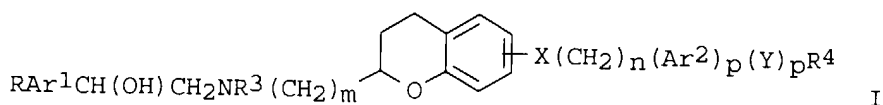
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO

JP 2001526281 T2 20011218 JP 2000-525412 19981117
 TW 502032 B 20020911 TW 1998-87118968 19981117
 US 6051586 A 20000418 US 1998-199014 19981123
 US 2003073839 A1 20030417 US 2000-520201 20000307
 US 2004072843 A1 20040415 US 2003-667286 20030919

PRIORITY APPLN. INFO.:

US 1997-994585 A 19971219
 US 1997-122061P P 19971219
 WO 1998-US24627 W 19981117
 US 1998-199014 A3 19981123
 US 2000-520201 B1 20000307

OTHER SOURCE(S): MARPAT 131:73558
 GI



II

AB Title compds. [I; R = H, OH, O, halo, haloalkyl, alkyl, cyano, NO₂, N(R₁)₂, SR₁, OR₁, SO₂R₂, CO₂R₂, COR₂, NR₁SO₂R₂, NR₁COR₂; R₁ = H, (substituted) alkyl, cycloalkyl, Ph, naphthyl; R₂ = R₁, N(R₁)₂; R₃ = H, alkyl, RAR¹CH(OH)CH₂; Ar¹ = Ar¹OCH₂, Ph, (fused) heterocyclyl; m = 1-3; n = 0-4; X = piperazinylsulfonyl, NR₃SO₂; Ar² = (substituted) (fused) Ph, heterocyclyl; Y = OY, NR₁, NR₁CO, (oxo-substituted) cycloalkyl, heterocyclyl; p = 0, 1; R₄ = H, R₁, R₂, oxo, (substituted) heteroalkyl, alkyl, haloalkyl], were prepared for treatment of diabetes and obesity (no data). Thus, (R)-(pyrid-3-yl)oxirane (preparation given) and 2-aminomethylchroman-6-sulfonic acid [4-[4-(3-cyclopentylpropyl)-5-oxo-4,5-dihydrotetrazol-1-yl]phenyl]amide (preparation given) were refluxed in EtOH/H₂O to give 11% title compound (II).

IT **228709-72-6P 228709-79-3P**

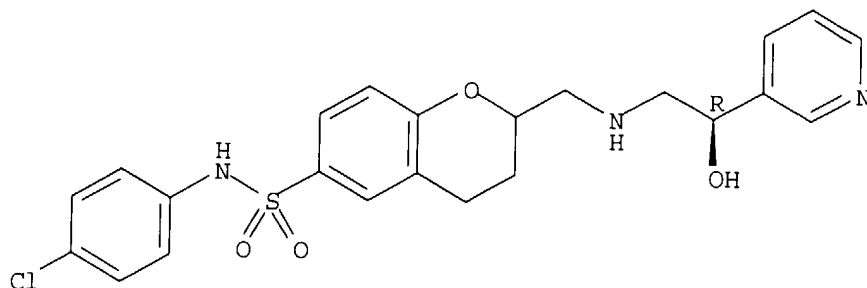
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of chromansulfonamides as β -3 adrenoreceptor agonists)

RN 228709-72-6 CAPLUS

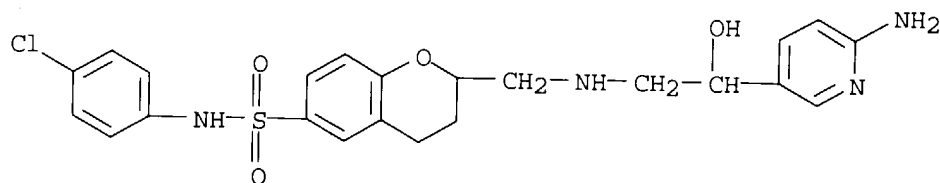
CN 2H-1-Benzopyran-6-sulfonamide, N-(4-chlorophenyl)-3,4-dihydro-2-[[[(2R)-2-hydroxy-2-(3-pyridinyl)ethyl]amino]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 228709-79-3 CAPLUS

CN 2H-1-Benzopyran-6-sulfonamide, 2-[[[2-(6-amino-3-pyridinyl)-2-hydroxyethyl]amino]methyl]-N-(4-chlorophenyl)-3,4-dihydro- (9CI) (CA INDEX NAME)



REFERENCE COUNT:

6

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 17 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1999:197784 CAPLUS

DOCUMENT NUMBER: 131:53647

TITLE: Isolation of cDNAs encoding cellular drug-binding proteins using a novel expression cloning procedure: drug-western

AUTHOR(S): Tanaka, Hideki; Ohshima, Nobuko; Hidaka, Hiroyoshi
CORPORATE SOURCE: Department of Pharmacology, Nagoya University School of Medicine, Nagoya, Japan

SOURCE: Molecular Pharmacology (1999), 55(2), 356-363

CODEN: MOPMA3; ISSN: 0026-895X

PUBLISHER: American Society for Pharmacology and Experimental Therapeutics

DOCUMENT TYPE: Journal

LANGUAGE: English

AB A rapid and convenient new method for isolating the genes encoding cellular drug-binding proteins is described. This method, drug-western, is based on the use of the drug conjugated with a marker mol. as a probe for the screening of a cDNA library. Unlike the other methods, this method allows us to identify the genes for trace amts. of cellular drug-binding proteins without purification. We have used this approach to isolate human cDNA clones encoding binding proteins for HMN-154 ((E)-4-[[2-(p-methoxy-benzene-sulfonamide) phenyl]ethenyl] pyridine), a

novel benzenesulfonamide anticancer compound (Kato and Hidaka, 1997). The proteins encoded by two of the isolated clones are identical to NF-YB, B subunit of nuclear transcription factor NF-Y, and thymosin β -10, resp. Recombinants of both proteins bind specifically to HMN-154 in vitro. Comparison of amino acid sequence between these proteins showed the sequence similarity in a short amino acid stretch [K(X)AKXXK]. Deletion or mutation of this region causes the significant loss of binding of both proteins to HMN-154. Furthermore, HMN-154 inhibits DNA binding of NF-Y to the human major histocompatibility complex class II human leukocyte antigen DRA Y-box sequence in a dose-dependent manner. Interestingly, other binding proteins identified by this method also possess the same or a similar motif. These results clearly demonstrate that NF-YB and thymosin β -10 are specific cellular binding proteins to HMN-154. Hence, this new method is thought to be useful for the identification of drug-binding proteins.

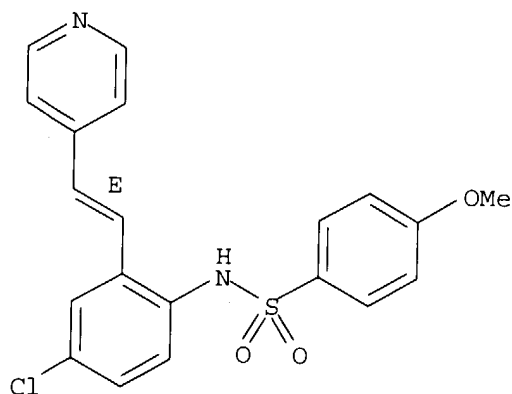
IT 173529-18-5

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study) (cytotoxicity of HMN-154 derivs.; drug-western isolation of cDNAs encoding cellular drug-binding proteins for HMN-154)

RN 173529-18-5 CAPLUS

CN Benzenesulfonamide, N-[4-chloro-2-[(1E)-2-(4-pyridinyl)ethenyl]phenyl]-4-methoxy- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



REFERENCE COUNT: 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 18 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN
 ACCESSION NUMBER: 1998:454925 CAPLUS
 DOCUMENT NUMBER: 129:189297
 TITLE: 1,3-Dipolar cycloadditions. 105. Isoquinolinium N-arylimides and acetylenic dipolarophiles; cycloadducts and their rearrangements
 AUTHOR(S): Bast, Klaus; Durst, Tony; Huber, Helmut; Huisgen, Rolf; Lindner, Klaus; Stephenson, David S.; Temme, Robert
 CORPORATE SOURCE: Institut für Organische Chemie der Universität München, München, D-80333, Germany
 SOURCE: Tetrahedron (1998), 54(29), 8451-8468
 CODEN: TETRAB; ISSN: 0040-4020
 PUBLISHER: Elsevier Science Ltd.
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 129:189297

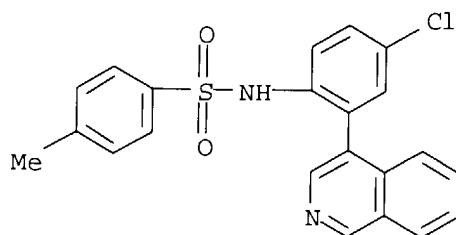
AB Di-Me acetylenedicarboxylate, Me propiolate, and Et phenylpropiolate surpass the corresponding ethylenic carboxylic esters in dipolarophilic activity vs. isoquinolinium N-arylimides, a class of azomethine imines. The cycloadducts contain a N3-vinylphenylhydrazine system and enter into a Fischer indole synthesis which stops one step short of the indole. The [3.3]-sigmatropic rearrangement involved is likewise faster for the cycloadducts of acetylenic dipolarophiles than for ethylenic ones and does not require acid catalysis; in some cases the initial adduct escapes ¹H NMR observation. The products obtained with Et phenylpropiolate, provide beautiful NMR models for steric interaction of benzo ring E and a Ph group. On treatment with strong acid, the pentacyclic rearrangement products suffer fragmentation.

IT **211743-99-6P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 211743-99-6 CAPLUS

CN Benzenesulfonamide, N-[4-chloro-2-(4-isoquinolinyl)phenyl]-4-methyl- (9CI)
(CA INDEX NAME)



REFERENCE COUNT: 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 19 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1998:424220 CAPLUS

DOCUMENT NUMBER: 129:95327

TITLE: Preparation of sulfonamide and carboxamide derivatives as drugs

INVENTOR(S): Ohuchida, Shuichi; Nagao, Yuuki

PATENT ASSIGNEE(S): Ono Pharmaceutical Co., Ltd., Japan; Ohuchida, Shuichi; Nagao, Yuuki

SOURCE: PCT Int. Appl., 305 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9827053	A1	19980625	WO 1997-JP4593	19971212
W: AU, CA, CN, HU, JP, KR, MX, NO, US				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
TW 523506	B	20030311	TW 1997-86118583	19971210
CA 2274954	AA	19980625	CA 1997-2274954	19971212
AU 9854115	A1	19980715	AU 1998-54115	19971212
AU 733493	B2	20010517		
EP 947500	A1	19991006	EP 1997-947925	19971212
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
CN 1247529	A	20000315	CN 1997-181861	19971212
JP 3426252	B2	20030714	JP 1998-527533	19971212
ZA 9711336	A	19980625	ZA 1997-11336	19971217

KR 2000057576	A	20000925	KR 1999-705335	19990615
NO 9902935	A	19990816	NO 1999-2935	19990616
MX 9905770	A	20000228	MX 1999-5770	19990618
US 6448290	B1	20020910	US 1999-331327	19990618
US 2003060460	A1	20030327	US 2002-207078	20020730
US 6790866	B2	20040914		

PRIORITY APPLN. INFO.:

JP 1996-353818	A	19961218
JP 1997-305055	A	19971021
WO 1997-JP4593	W	19971212
US 1999-331327	A3	19990618

OTHER SOURCE(S): MARPAT 129:95327

GI For diagram(s), see printed CA Issue.

AB The title compds. (I; rings A and B represent each a carbocycle or a heterocycle; Z1 represents COR1, CH:CHCOR1, etc.; R1 represents OH, C1-4 alkoxy, etc.; Z2 represents H, alkyl, etc.; Z3 represents a single bond or alkylene; Z4 represents SO2 or CO; Z5 represents alkyl, Ph, a heterocycle, etc.; R2 represents CONR8, O, S, etc.; R8 represents H, C1-4 alkyl; R3 represents H, alkyl, halo, CF3, etc.; R4 represents H, optionally substituted alkyl, etc.; n, t = 1-4) are prepared I bind to prostaglandin E2 (PGE2) receptors and exert an antagonism. I have the effects of inhibiting uterine muscle contraction, analgesia, inhibiting digestive tract movement, hypnosis, enlarging vesical capacity, contracting the uterine, promoting the digestive tract movement, suppressing the secretion of gastric hydrochloric acid, lowering blood pressure, or diuresis. Thus, compound (II; W = Me) was treated with aqueous NaOH and followed by aqueous

HCl to

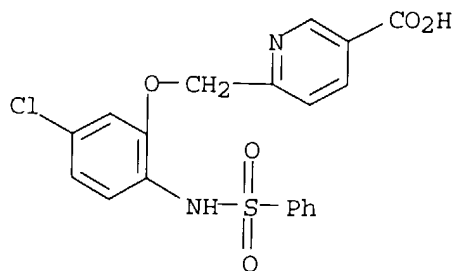
give the title compound II (W = H), which showed Ki of 0.099 μ M against PGE2 receptors.

IT **209687-31-0P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of sulfonamide and carboxamide derivs. as drugs)

RN 209687-31-0 CAPLUS

CN 3-Pyridinecarboxylic acid, 6-[[5-chloro-2-[(phenylsulfonyl)amino]phenoxy]methyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT:

5

THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d 13 20-27 ibib abs hitstr

L3 ANSWER 20 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1995:996629 CAPLUS

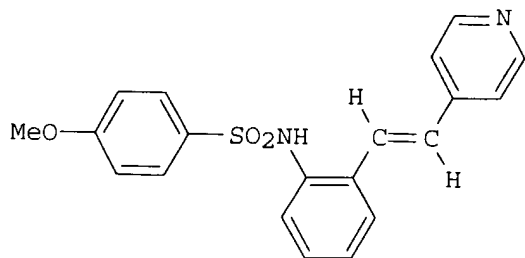
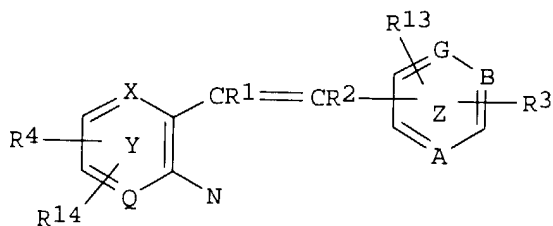
DOCUMENT NUMBER: 124:145916

TITLE: Preparation of aminostilbazole derivatives as anticancer agents

INVENTOR(S): Hidaka, Hiroyoshi; Matsuura, Akira; Matsuda, Masato

PATENT ASSIGNEE(S): Nippon Shinyaku Co., Ltd., Japan
 SOURCE: PCT Int. Appl., 61 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9527699	A1	19951019	WO 1995-JP658	19950405
W: CA, CN, JP, KR, MX, RU, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2187214	AA	19951019	CA 1995-2187214	19950405
CA 2187214	C	20020312		
EP 754682	A1	19970122	EP 1995-914513	19950405
EP 754682	B1	20011017		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, NL, PT, SE				
CN 1145066	A	19970312	CN 1995-192423	19950405
CN 1053658	B	20000621		
RU 2138482	C1	19990927	RU 1996-121800	19950405
JP 3080405	B2	20000828	JP 1995-526235	19950405
AT 207057	E	20011115	AT 1995-914513	19950405
PT 754682	T	20020328	PT 1995-914513	19950405
ES 2165911	T3	20020401	ES 1995-914513	19950405
US 5972976	A	19991026	US 1996-765131	19961002
PRIORITY APPLN. INFO.:			JP 1994-68252	A 19940406
OTHER SOURCE(S):			WO 1995-JP658	W 19950405
GI				



AB Aminostilbazole, i.e. 4-[2-(pyridinyl)ethenyl]aniline derivs. represented by general formula [I; R1, R2 = H, C1-6 alkyl or acyl, CO2H, (C1-6 alkoxy)carbonyl; R3, R4, R13, R14 = H, C1-6 alkyl, C1-6 (halo)alkoxy, C1-6 acyl, C1-6 acyloxy, halo, NO2, cyano, NH2, C1-6 acylamino, C1-6 aminoalkyloxy, morpholino-C1-6 alkoxy; or R3R13 or R4R14 = methylenedioxy; R5 = H, (un)substituted C1-6 alkyl, C1-6 (halo)alkenyl, C2-6 alkynyl, C1-6

acyl; R6 = C7-11 aroyl, C6-10 arylsulfonyl; A, B, G, Q, X = N, CH, N(O), N+R7.E-; wherein R7 = C1-6 alkyl, C7-14 aralkyl; E- = halogen ion, ClO4-, NO3-, etc.; provided that the case where A = B = G = N and A = B = G = Q = X = CH are excluded; ring Y = Ph, etc.; ring Z = 4-pyridyl, and oxide thereof, etc.], which exhibit anticancer activity through the inhibition of the polymerization of tubulin protein, and useful for treating various types of malignant tumor, are prepared Thus, 4.93 g (E)-2-[2-(4-pyridyl)ethenyl]aniline was dissolved in pyridine, followed by gradually adding 5.70 g p-methoxybenzenesulfonyl chloride under ice-cooling, and the resulting mixture was stirred overnight to give, after silica gel chromatog., 1.94 g the title compound (II). II in vitro showed IC50 of 0.0026, 0.16, and 0.16 µg/mL for inhibiting the proliferation of KB, colon 38, and WiDr cancer cells, resp. It also showed IC50 of 11.2 µM for inhibiting the polymerization of tubulin protein isolated from pig brain.

In

nude mice transplanted with WiDr tumor, II at 100 mg/kg p.o. per day for 16 consecutive days inhibited the tumor proliferation by 81.7% and all the mice survived.

IT

173529-18-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of [(pyridinyl)ethenyl]aniline derivs. as anticancer agents)

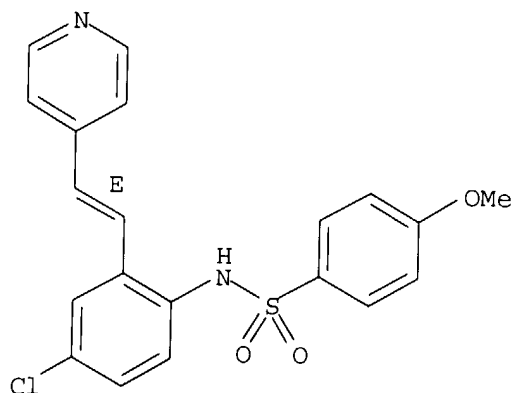
RN

173529-18-5 CAPLUS

CN

Benzenesulfonamide, N-[4-chloro-2-[(1E)-2-(4-pyridinyl)ethenyl]phenyl]-4-methoxy- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



L3 ANSWER 21 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN
 ACCESSION NUMBER: 1995:193455 CAPLUS
 DOCUMENT NUMBER: 122:12127
 TITLE: Yellow colorants and color filters containing them
 INVENTOR(S): Karasawa, Akio; Ito, Naoto
 PATENT ASSIGNEE(S): Mitsui Toatsu Chemicals, Japan
 SOURCE: Jpn. Kokai Tokkyo Koho, 9 pp.
 CODEN: JKXXAF
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

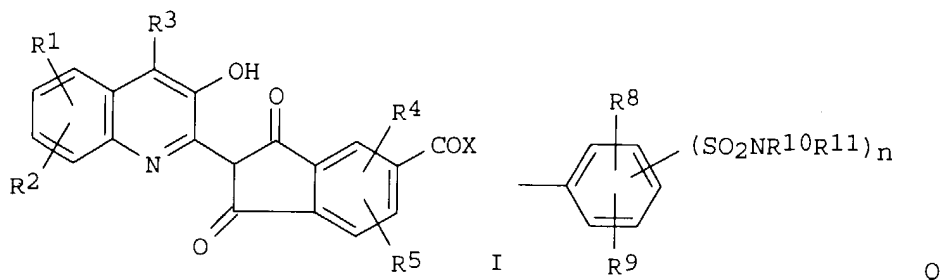
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 06220339	A2	19940809	JP 1993-8117	19930121

JP 3247911
 PRIORITY APPLN. INFO.:
 OTHER SOURCE(S):
 GI

B2 20020121
 MARPAT 122:12127

JP 1993-8117

19930121



AB The yellow colorants are quinophthalones I [R1-R5 = H, halo, (un)substituted C1-20 alkyl, (un)substituted cycloalkyl; X = NR6R7; R6 = Q; R7 = H, Q; R8, R9 = H, halo, (un)substituted C1-20 alkyl, (un)substituted cycloalkyl; R10, R11 = H, (un)substituted C1-20 alkyl, (un)substituted cycloalkyl, (un)substituted aryl; n = 1-3] and their tautomers; the color filters contain the colorants; color filters for liquid-crystalline displays comprise cured photoresist comps. containing the colorants. Thus, I (R1 = 6-iso-Pr, R2-R5 = H, X = OH) was treated with SOCl2, then with p-Bu2NSO2C6H4NH2 in water to give a colorant, λ_{max} 452 nm.

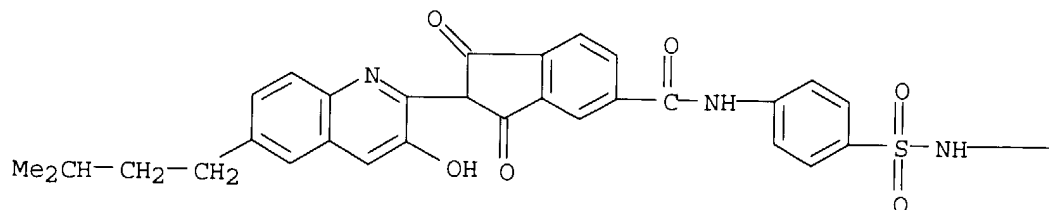
IT 159393-06-3P

RL: IMF (Industrial manufacture); PREP (Preparation)
 (yellow colorant for color filters and photoresists and liquid-crystalline display devices)

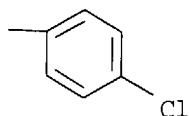
RN 159393-06-3 CAPLUS

CN 1H-Indene-5-carboxamide, N-[4-[[4-chlorophenyl]amino]sulfonyl]phenyl]-2,3-dihydro-2-[3-hydroxy-6-(3-methylbutyl)-2-quinolinyl]-1,3-dioxo- (9CI) (CA INDEX NAME)

PAGE 1-A



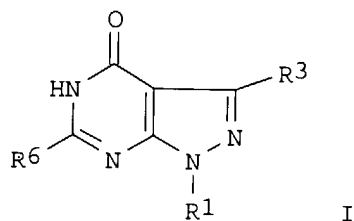
PAGE 1-B



L3 ANSWER 22 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN
 ACCESSION NUMBER: 1994:605376 CAPLUS
 DOCUMENT NUMBER: 121:205376
 TITLE: 6-(heterocyclyl)pyrazolo[3,4-d]pyrimidin-4-one
 phosphodiesterase inhibitors
 INVENTOR(S): Bacon, Edward R.; Singh, Baldev; Leshner, George Y.
 PATENT ASSIGNEE(S): Sterling Winthrop Inc., USA
 SOURCE: U.S., 39 pp.
 CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5294612	A	19940315	US 1992-859770	19920330
US 5541187	A	19960730	US 1993-159158	19931130
PRIORITY APPLN. INFO.:			US 1992-859770	19920330
OTHER SOURCE(S):	MARPAT	121:205376		

GI



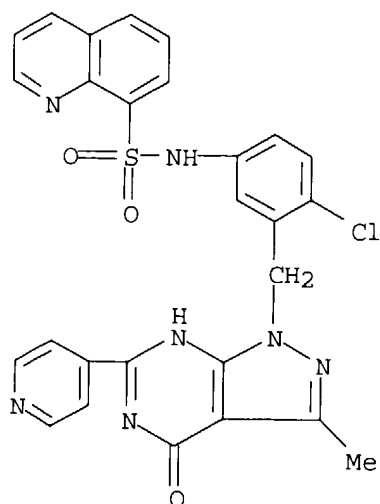
AB The title compds. [I; R1 = H, alkyl, (un)substituted C4-7 cycloalkyl, 2- or 3-tetrahydrofuranyl, 3-tetrahydrothienyl-1,1-dioxide, etc; R3 = C1-4 alkyl, Ph-substituted C1-4 alkyl, halogen, CF3, C1-4 alkylthio, CN, NO2, etc.; R6 = 9- or 10-membered bicyclic ring having C and 1-2 N atoms, which heterocycle is made up of fused 5- or 6-membered rings, etc.], useful as phosphodiesterase inhibitors for treating cardiovascular diseases such as congestive heart failure and hypertension, are prepared Thus, 1-(2-methylcyclopentyl)-3-methyl-6-(4-pyridyl)pyrazolo[3,4-d]pyrimidin-4-one (m.p. 290-291°), prepared from 2-methylcyclopentanone in 5 steps, demonstrated 59% inhibition of cyclic guanosine monophosphate-phosphodiesterase I at 1 µM.

IT **158020-67-8P**

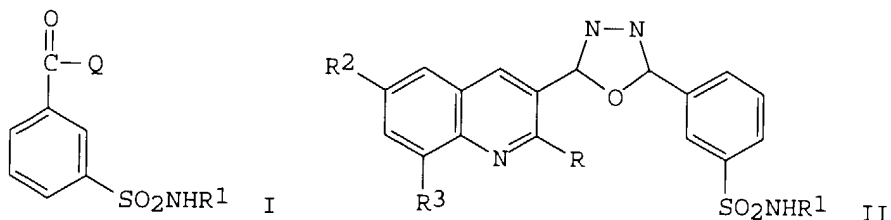
RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation and phosphodiesterase inhibitory activity of)

RN 158020-67-8 CAPLUS

CN 8-Quinolinesulfonamide, N-[4-chloro-3-[[4,7-dihydro-3-methyl-4-oxo-6-(4-pyridinyl)-1H-pyrazolo[3,4-d]pyrimidin-1-yl]methyl]phenyl]- (9CI) (CA INDEX NAME)

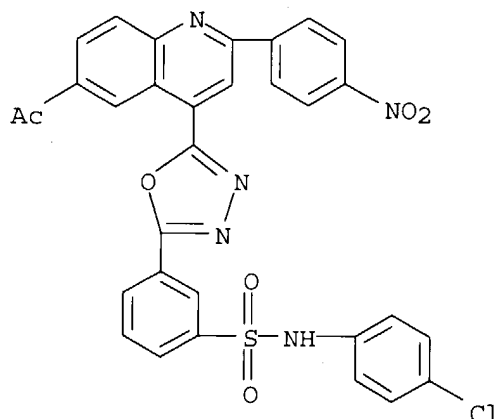


L3 ANSWER 23 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN
 ACCESSION NUMBER: 1993:428062 CAPLUS
 DOCUMENT NUMBER: 119:28062
 TITLE: 1,3,4-Oxadiazoles: 2-(2'-aryl-6H-/acetylquinolin-4'-yl)-5-(3'-arylaminosulfophenyl)-1,3,4-oxadiazoles
 AUTHOR(S): Dabhi, T. P.; Shah, V. H.; Parikh, A. R.
 CORPORATE SOURCE: Chem. Dep., Saurashtra Univ., Rajkot, 360005, India
 SOURCE: Journal of the Institution of Chemists (India) (1992), 64(2), 47-8
 CODEN: JOICA7; ISSN: 0020-3254
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 119:28062
 GI



AB M-Carboxybenzenesulfonyl chloride reacted with aromatic amines R_1NH_2 (e.g., R_1 = o-tolyl) to give arylaminosulfobenzoic acids I (Q = OH) which upon treatment with hydrazine hydrate in EtOH and H_2SO_4 gave I (Q = $NHNH_2$). I (Q = $NHNH_2$) reacted with 2-phenylquinoline-4-carboxylic acid in phosphorus oxychloride to give oxadiazole derivs. II. E.g., I (Q = $NHNH_2$, R_1 = o-tolyl) reacted with 2-phenylquinoline-4-carboxylic acid in phosphorus oxychloride to give oxadiazole derivs. II (R = Ph, R_1 = o-tolyl, R_2 = R_3 = H) in 65%.
 IT **147411-07-2P**
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
 (preparation and antimicrobial activity of)
 RN 147411-07-2 CAPLUS

CN Benzenesulfonamide, 3-[5-[6-acetyl-2-(4-nitrophenyl)-4-quinoliny]-1,3,4-oxadiazol-2-yl]-N-(4-chlorophenyl)- (9CI) (CA INDEX NAME)



L3 ANSWER 24 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1991:656016 CAPLUS

DOCUMENT NUMBER: 115:256016

TITLE: Preparation of diarylstyrylquinoline diacids as leukotriene antagonists

INVENTOR(S): Young, Robert N.; Gauthier, Jacques Yves; Zamboni, Robert; Belley, Michel L.

PATENT ASSIGNEE(S): Merck Frosst Canada, Inc., Cote d'Ivoire

SOURCE: Eur. Pat. Appl., 144 pp.

CODEN: EPXXDW

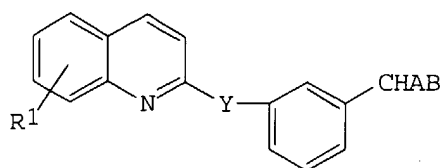
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 399818	A1	19901128	EP 1990-305640	19900523
EP 399818	B1	19950816		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
US 5104882	A	19920414	US 1990-527236	19900522
CA 2017376	AA	19901124	CA 1990-2017376	19900523
CA 2017376	C	20000718		
NO 9002301	A	19901126	NO 1990-2301	19900523
AU 9055811	A1	19901213	AU 1990-55811	19900523
ZA 9003983	A	19910327	ZA 1990-3983	19900523
JP 03072459	A2	19910327	JP 1990-132754	19900524
JP 07103107	B4	19951108		
US 5204358	A	19930420	US 1992-818598	19920109
PRIORITY APPLN. INFO.:			US 1989-356478	A 19890524
			US 1987-125050	B2 19871125
			US 1988-275160	B2 19881122
			US 1990-527236	A3 19900522
OTHER SOURCE(S):		MARPAT 115:256016		
GI				



AB Title compds. I [R1 = 7-Cl, 7-MeO, 6-F3C, 7-F3C, 6-MeSO2, H, 6,7-Cl2; Y = CH:CH, CH2CH2, CH2O, CHMeCH2; A = HO2C(CH2)2S, Me2NCO(CH2)2S, 3-(HO2C)C6H4S, Me3CNHCO(CH2)2S, 4-carboxy-2-pyridyl, [(1-adamantylamino)carbonylethyl]thio, 1-tetrazol-5-ylmethylthio, etc.; B = 2-(HO2C)C6H4CH2CH2, 3-(HO2C)C6H4, 5-carboxy-2-thiophenyl, HO2CCH2CHMe(CH2)2, 6-carboxy-2-pyridyl, 2-(Me3CNHCO)C6H4S, 3-[(1-tetrazol-5-yl)methyl]phenyl, etc.] and their salts, useful as inhibitors of leukotriene biosynthesis, antiasthmatic, antiallergic, antiinflammatory, and cytoprotective agents (no data, assays described), are prepared I may also be used to treat erosive gastritis, inflammatory bowel disease, prevention of SRA-release (no data). To a suspension of [(7-chloroquinolin-2-yl)methyl]triphenylphosphonium bromide in THF was added BuLi, the reaction mixture was stirred at -78° and Me 2-[3-[2-(methoxycarbonyl)ethylthio]-3-(3-formylphenyl)propyl]benzoate [preparation from 3-(BrCH2)C6H4CN given] added, the mixture warmed to room temperature to give I [R1 = 7-Cl; Y = CH:CH; A = HO2C(CH2)2S; B = 2-(HO2C)C6H4CH2CH2] (II) as the di-Me ester, which in THF and MeOH was saponified to give II.2Na salt. A capsule, injectable suspension and tablet formulations comprising I are given. Pharmaceutical composition of I may comprise an addnl. active ingredient such as nonsteroidal antiinflammatory drug, peripheral analgesic, cyclooxygenase inhibitor, etc.

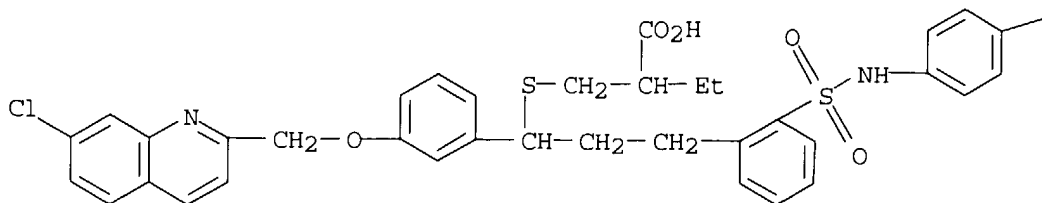
IT **133770-36-2P 133770-40-8P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as leukotriene antagonist)

RN 133770-36-2 CAPLUS

CN Butanoic acid, 2-[[[3-[2-[[[4-chlorophenyl]amino]sulfonyl]phenyl]-1-[3-[(7-chloro-2-quinolinyl)methoxy]phenyl]propyl]thio]methyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

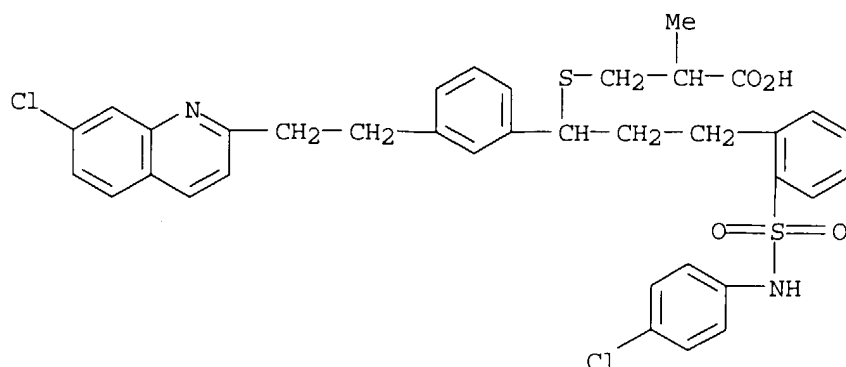


PAGE 1-B

—Cl

RN 133770-40-8 CAPLUS

CN Propanoic acid, 3-[[3-[2-[[[4-chlorophenyl]amino]sulfonyl]phenyl]-1-[3-[2-(7-chloro-2-quinolinyl)ethyl]phenyl]propyl]thio]-2-methyl- (9CI) (CA INDEX NAME)



L3 ANSWER 25 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN
 ACCESSION NUMBER: 1991:471636 CAPLUS
 DOCUMENT NUMBER: 115:71636
 TITLE: Preparation of [(heteroaryloxyphenylcarbamoyl)phenyl]a
 minosulfonylbenzenes as anthelmintics
 INVENTOR(S): Maienfisch, Peter; Hildenbrand, Christof; Gehret, Jean
 Claude
 PATENT ASSIGNEE(S): Ciba-Geigy A.-G., Switz.
 SOURCE: Eur. Pat. Appl., 37 pp.
 CODEN: EPXXDW
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 420804	A2	19910403	EP 1990-810710	19900918
EP 420804	A3	19911127		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
US 5081125	A	19920114	US 1990-586176	19900919
JP 03123773	A2	19910527	JP 1990-248968	19900920
CA 2026037	AA	19910327	CA 1990-2026037	19900924
HU 54986	A2	19910429	HU 1990-6028	19900924
AU 9063201	A1	19910411	AU 1990-63201	19900925
AU 627075	B2	19920813		
ZA 9007637	A	19910529	ZA 1990-7637	19900925
DD 299179	A5	19920402	DD 1990-344230	19900926
US 5132314	A	19920721	US 1991-783433	19911025
PRIORITY APPLN. INFO.:			CH 1989-3481	A 19890926
OTHER SOURCE(S):			US 1990-586176	A3 19900919
GI			MARPAT 115:71636	

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. [I; R1 = H, halo, (halo)alkyl, thioalkyl, NO2, alkoxy, SOnR;
 R = alkyl, Ph; n = 0-2; R2 = H, halo, (halo)alkyl, (halo)alkoxy; R3, R4 =

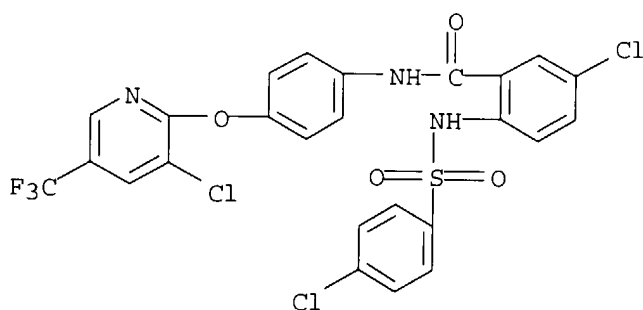
H, Me, Et; R5, R6 = H, halo, alkyl; R7 = H, halo, (halo)alkyl, (halo)alkoxy, NO2; R8, R9 = H, halo, (halo)alkyl, (halo)alkoxy; R10, R11 = H, halo, (halo)alkyl, alkylthio, cycloalkyl, cyano; R12 = H, halo; X = CH, N], were prepared Thus, a mixture of 5-chloro-2-nitrobenzoyl chloride (preparation given), 4-[3-chloro-5-trifluoromethylpyridyl-2-oxy]aniline, and Et3N was stirred 2 h in CH2Cl2 to give the corresponding anilide, which was reduced to the amine using Raney Ni/H in THF. The amine was stirred 16 h with 4-ClC6H4SO2Cl in pyridine to give title compound II which at 20 mg/kg orally in sheep gave a >90% reduction in nematode (e.g., *Haemonchus contortus*, *Trichostrongylus colubriformis*) nos.

IT 135078-89-6P 135078-90-9P 135078-92-1P
 135078-94-3P 135078-95-4P 135078-97-6P
 135078-99-8P 135079-00-4P 135079-02-6P
 135079-05-9P 135079-06-0P 135079-07-1P
 135079-09-3P 135079-10-6P 135079-13-9P
 135079-14-0P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of, as anthelmintic)

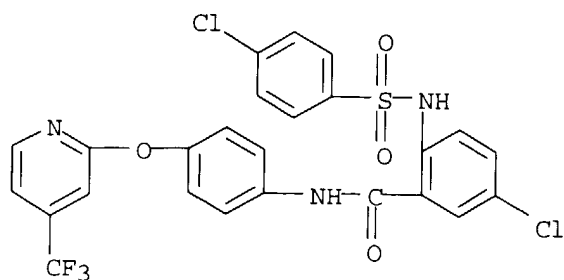
RN 135078-89-6 CAPLUS

CN Benzamide, 5-chloro-2-[[[(4-chlorophenyl)sulfonyl]amino]-N-[4-[[3-chloro-5-(trifluoromethyl)-2-pyridinyl]oxy]phenyl]]- (9CI) (CA INDEX NAME)

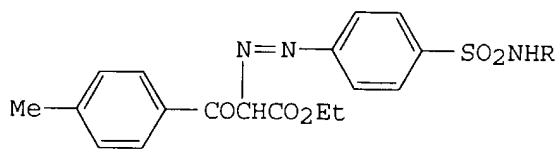


RN 135078-90-9 CAPLUS

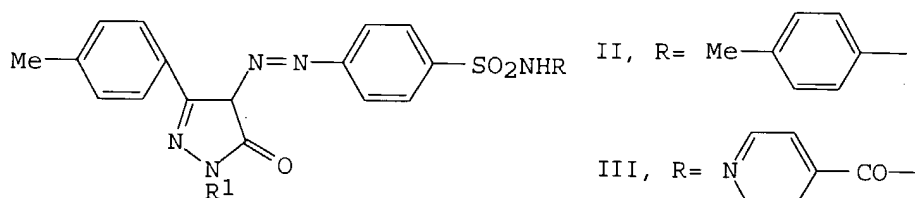
CN Benzamide, 5-chloro-2-[[[(4-chlorophenyl)sulfonyl]amino]-N-[4-[[4-(trifluoromethyl)-2-pyridinyl]oxy]phenyl]]- (9CI) (CA INDEX NAME)



L3 ANSWER 26 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN
 ACCESSION NUMBER: 1987:152855 CAPLUS
 DOCUMENT NUMBER: 106:152855
 TITLE: Synthesis of some 1-substituted-3-(4'-methylphenyl)-4-N1-substituted p-sulfamylbenzeneazo)pyrazolin-5-ones as potential fungicides and bactericides
 AUTHOR(S): Ahluwalia, V. K.; Dutta, Uttara; Sharma, H. R.
 CORPORATE SOURCE: Dep. Chem., Univ. Delhi, Delhi, 110 007, Switz.
 SOURCE: Indian Journal of Pharmaceutical Sciences (1986), 48(6), 176-80
 CODEN: IJSIDW; ISSN: 0250-474X
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 GI



I



II, R= CC1=CC=C(C=C1)

III, R= c1ccncc1C=O

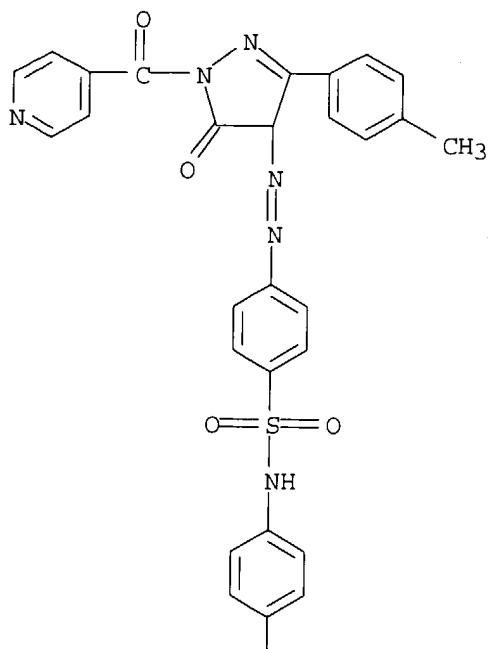
AB Coupling of Et 3-(4-methylphenyl)-3-oxopropanoate with different diazotized sulfonamide bases give the corresponding Et 3-(4-methylphenyl)-2-(N1-substituted p-sulfamylbenzeneazo)-3-oxopropanoate (I, R = e.g., substituted Ph, pyrimidyl, H, Ac, etc.). Subsequent cyclization with substituted hydrazines yield the pyrazolone derivs. (II and III). ¹³C-NMR and IR studies show them to exist in the pyrazol-5-ol form. Marginal activity was observed during the screening for antifungal and antibacterial activity of these compds.

IT **107609-12-1P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
 (preparation and antimicrobial activity of)

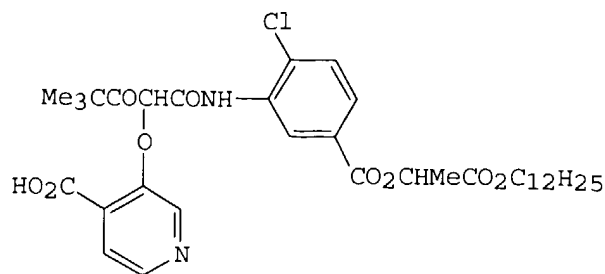
RN 107609-12-1 CAPLUS

CN 3H-Pyrazol-3-one, 4-[[4-[[[(4-chlorophenyl)amino]sulfonyl]phenyl]azo]-2,4-dihydro-5-(4-methylphenyl)-2-(4-pyridinylcarbonyl)- (9CI) (CA INDEX NAME)



L3 ANSWER 27 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN
 ACCESSION NUMBER: 1983:622321 CAPLUS
 DOCUMENT NUMBER: 99:222321
 TITLE: Two-equivalent yellow coupler for color photographic materials
 PATENT ASSIGNEE(S): Konishiroku Photo Industry Co., Ltd., Japan
 SOURCE: Jpn. Kokai Tokkyo Koho, 9 pp.
 CODEN: JKXXAF
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 58139138	A2	19830818	JP 1982-21910	19820212
JP 04025530	B4	19920501		
PRIORITY APPLN. INFO.: GI			JP 1982-21910	19820212



AB The yellow coupler has the structure RR1 (R = coupler component of α -acylacetanilide type; R1 = 3-pyridyloxy group attached to R at its active site and is split-off by the reaction with oxidized developing agent). The 3-pyridyloxy structure of the split-off group provides the color materials with improved color developability, reduced color stain, improved light-fastness and storage stability of the processed image. Thus, a photog. support was coated with a mixture of dispersion of coupler I and Ag(Br, I) (6 mol % AgI) emulsion, exposed sensitometrically, and developed according to a color neg. process. Increase in speed and developed d., reduction of fog, and improvement in image stability in both a light-fading test and accelerated aging test were observed

IT **87701-22-2**

RL: USES (Uses)

(photog. 2-equivalent yellow coupler)

RN 87701-22-2 CAPLUS

CN Pentanamide, N-[2,4-dichloro-5-[[[4-(tetradecyloxy)phenyl]sulfonyl]amino]phenyl]-2-[[2-(methoxymethyl)-6-methyl-3-pyridinyl]oxy]-4,4-dimethyl-3-oxo-(9CI) (CA INDEX NAME)

